

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
 NEWS 2 "Ask CAS" for self-help around the clock  
 NEWS 3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks  
 (ROSPATENT) added to list of core patent offices covered  
 NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status  
 data from INPADOC  
 NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available  
 NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded  
 NEWS 7 MAR 02 GBFULL: New full-text patent database on STN  
 NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
 NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
 NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
 NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
 NEWS 12 MAR 22 PATDPASPC - New patent database available  
 NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
 NEWS 14 APR 04 EPFULL enhanced with additional patent information and new  
 fields  
 NEWS 15 APR 04 EMBASE - Database reloaded and enhanced

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT  
 MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
 AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 15:20:03 ON 06 APR 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:20:15 ON 06 APR 2005

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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 5 APR 2005 HIGHEST RN 847968-12-1

DICTIONARY FILE UPDATES: 5 APR 2005 HIGHEST RN 847968-12-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
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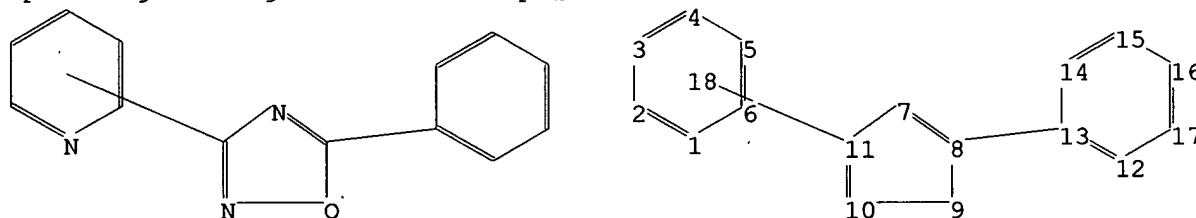
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10699563.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

8-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-17 13-14  
14-15 15-16 16-17

exact/norm bonds :

7-8 7-11 10-11

exact bonds :

8-9 8-13 9-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17

isolated ring systems :

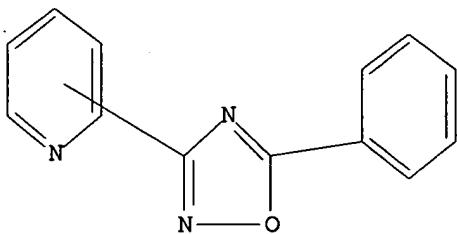
containing 1 : 7 : 12 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS

L1 STRUCTURE UPLOADED

=> dis l1  
 L1 HAS NO ANSWERS  
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam  
 SAMPLE SEARCH INITIATED 15:20:33 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 638 TO ITERATE

100.0% PROCESSED 638 ITERATIONS 50 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 11245 TO 14275  
 PROJECTED ANSWERS: 608 TO 1472

L2 50 SEA SSS SAM L1

=> s l1 full  
 FULL SEARCH INITIATED 15:20:40 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 12666 TO ITERATE

100.0% PROCESSED 12666 ITERATIONS 960 ANSWERS  
 SEARCH TIME: 00.00.01

L3 960 SEA SSS FUL L1

=> file hcaplus  
 COST IN U.S. DOLLARS SINCE FILE TOTAL  
 ENTRY SESSION  
 FULL ESTIMATED COST 161.33 161.54

FILE 'HCAPLUS' ENTERED AT 15:20:56 ON 06 APR 2005  
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FILE COVERS 1907 - 6 Apr 2005 VOL 142 ISS 15  
FILE LAST UPDATED: 5 Apr 2005 (20050405/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 51 L3

=> s 14 and pd<sept 2000

20558864 PD<SEPT 2000

(PD<20000900)

L5 30 L4 AND PD<SEPT 2000

=> dis 15 1-30 bib abs hitstr

L5 ANSWER 1 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:191084 HCAPLUS

DN 132:222538

TI Preparation of 2-[(oxadiazolylpyridinyl)oxymethyl]- $\alpha$ -methoxyiminophenylacetamides as agrochemical fungicides

IN Kirby, Neil Vincent; Canada, Emily Jane; Morrison, Irene Mae; Pieczko, Mary Elizabeth; Gustafson, Gary David; Mathieson, John Todd; Cooper, David Harry; Galka, Christopher Stanley; Adamski, Jenifer Lynn

PA Dow Agrosciences Llc, USA

SO PCT Int. Appl., 59 pp.

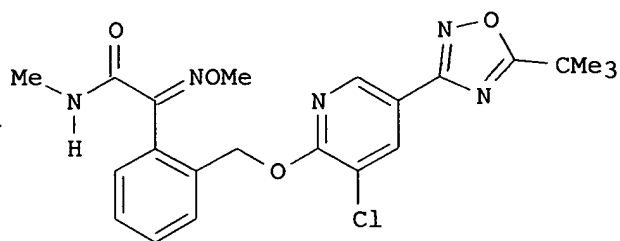
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000015637	A1	20000323	WO 1999-US21346	19990916 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9962516	A1	20000403	AU 1999-62516	19990916 <--
	US 6133294	A	20001017	US 1999-397564	19990916
	EP 1114045	A1	20010711	EP 1999-949693	19990916
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9915968	A	20010828	BR 1999-15968	19990916
	JP 2002524562	T2	20020806	JP 2000-570175	19990916
PRAI	US 1998-100666P	P	19980916		
	WO 1999-US21346	W	19990916		
OS	MARPAT 132:222538				
GI					



II

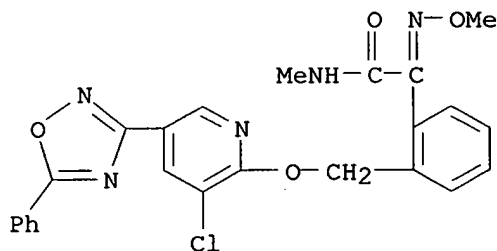
AB R1COC(:ZOMe)Z1Z2Z3R [I; R = (un)substituted di- or triazolyl, -oxazolyl, -thiazolyl, etc.; R1 = OMe or NHMe; Z = CH or N; Z1 = (un)substituted 1,2-phenylene; Z2 = O, SOO-2, CH2, CH2O, CH:CH, etc.; Z3 = (un)substituted pyridinediyl] were prepared. Thus, 5,6-dichloro-3-pyridinecarbonitrile was condensed with H2NOH and the product cyclocondensed with Me3CCOCl to give, in 2 addnl. steps, 5-tert-butyl-3-(5-chloro-6-methylsulfonyl-3-pyridinyl)-1,2,4-oxadiazole which was etherified by 2-hydroxymethyl- $\alpha$ -methoxyimino-N-methylbenzeneacetamide to give title compound II. Data for biol. activity of I were given.

IT 261624-36-6P 261624-41-3P 261625-23-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-[(oxadiazolylpyridinyl)oxymethyl]- $\alpha$ -methoxyiminophenylacetamides as agrochem. fungicides)

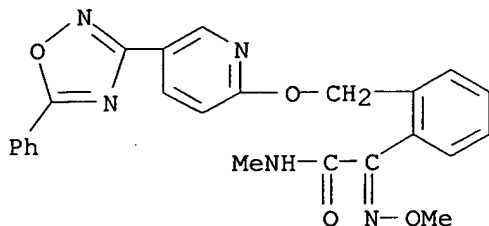
RN 261624-36-6 HCAPLUS

CN Benzeneacetamide, 2-[[[3-chloro-5-(5-phenyl-1,2,4-oxadiazol-3-yl)-2-pyridinyl]oxy]methyl]- $\alpha$ -(methoxyimino)-N-methyl- (9CI) (CA INDEX NAME)



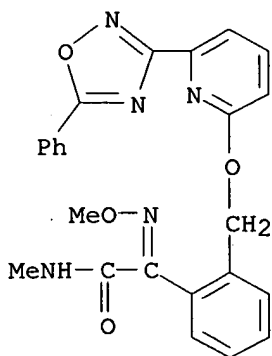
RN 261624-41-3 HCAPLUS

CN Benzeneacetamide,  $\alpha$ -(methoxyimino)-N-methyl-2-[[[5-(5-phenyl-1,2,4-oxadiazol-3-yl)-2-pyridinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



RN 261625-23-4 HCAPLUS

CN Benzeneacetamide, α-(methoxyimino)-N-methyl-2-[[[6-(5-phenyl-1,2,4-oxadiazol-3-yl)-2-pyridinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:808214 HCAPLUS

DN 132:151748

TI Solid-phase synthesis of 1,2,4-oxadiazoles

AU Sams, Christian K.; Lau, Jesper

CS Novo Nordisk A/S, Medicinal Chemistry Research, Malov, DK-2760, Den.

SO Tetrahedron Letters (1999), 40(52), 9359-9362

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 132:151748

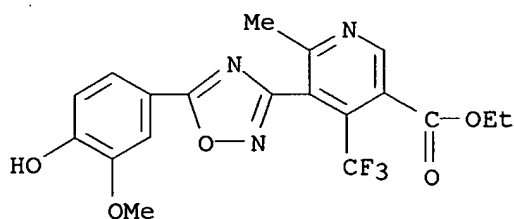
AB The synthesis of 3,5-substituted 1,2,4-oxadiazoles on solid support is described. Benzoic acids bound to the Wang linker on a polystyrene resin are activated and allowed to react with N-hydroxy amidines. The resulting acylated N-hydroxy amidines are converted into 1,2,4-oxadiazoles at 125°C.

IT 258267-82-2P 258267-90-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid-phase synthesis of 1,2,4-oxadiazoles)

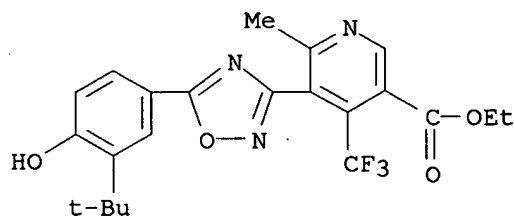
RN 258267-82-2 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-[5-(4-hydroxy-3-methoxyphenyl)-1,2,4-oxadiazol-3-yl]-6-methyl-4-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 258267-90-2 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-[5-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1,2,4-oxadiazol-3-yl]-6-methyl-4-(trifluoromethyl)-, ethyl ester (9CI)  
(CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:253079 HCAPLUS

DN 128:294783

TI New oxadiazoles, method for their preparation, and their use as drugs

IN Brenner, Michael; Maier, Roland; Wienrich, Marion; Weiser, Thomas; Palluk, Rainer; Bechtel, Wolf-Dietrich; Sagrada, Angelo; Ensinger, Helmut; Pschorn, Uwe; Cesana, Raffaele

PA Boehringer Ingelheim K.-G., Germany

SO Ger. Offen., 58 pp.

CODEN: GWXXBX

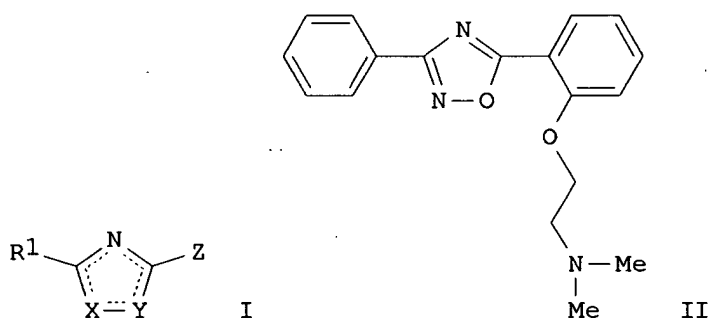
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19643037	A1	19980423	DE 1996-19643037	19961018 <--
	ZA 9709220	A	19980420	ZA 1997-9220	19971015 <--
	CA 2268954	AA	19980430	CA 1997-2268954	19971015 <--
	WO 9817652	A1	19980430	WO 1997-EP5693	19971015 <--
	W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9748676	A1	19980515	AU 1997-48676	19971015 <--
	AU 737552	B2	20010823		
	EP 934288	A1	19990811	EP 1997-911227	19971015 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

CN 1233245	A	19991027	CN 1997-198866	19971015 <--
CN 1086698	B	20020626		
BR 9714354	A	20000411	BR 1997-14354	19971015 <--
JP 2000505089	T2	20000425	JP 1998-505639	19971015 <--
JP 3333523	B2	20021015		
RU 2182905	C2	20020527	RU 1999-111781	19971015
TW 413678	B	20001201	TW 1997-86115386	19971018
NO 9901815	A	19990416	NO 1999-1815	19990416 <--
NO 312512	B1	20020521		
KR 2000049253	A	20000725	KR 1999-703360	19990416 <--
US 6277872	B1	20010821	US 1999-284382	19990726
HK 1020956	A1	20021004	HK 1999-106174	19991229
PRAI DE 1996-19643037	A	19961018		
WO 1997-EP5693	W	19971015		
OS MARPAT 128:294783				
GI				



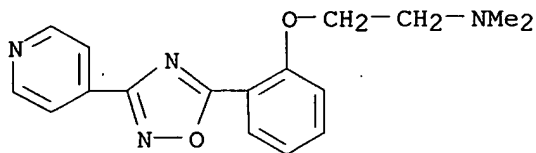
AB The title compds. [I; R<sup>1</sup> = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl or Ph; X, Y = O, N; X = Y ≠ N ≠ O; Z = substituted Ph] were prepared. For example, cyclocondensation of Ph(:NH)NHOH (preparation from PhCN and NH<sub>2</sub>OH given) with 2-HOC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me in EtOH in the presence of NaOEt gave 92% 5-(2-hydroxyphenyl)-3-phenyl-1,2,4-oxadiazole which was etherified with Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl in dioxane in the presence of NaH to give 64% title compound II. This at 100 μM in vitro gave 86% inhibition of kainate-induced signal at AMPA receptors.

IT **206260-75-5P 206260-77-7P 206260-93-7P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (new oxadiazoles, method for their preparation, and their use as neuroprotective drugs)

RN 206260-75-5 HCAPLUS

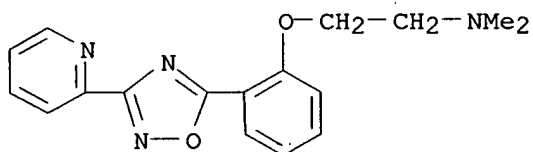
CN Ethanamine, N,N-dimethyl-2-[2-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)





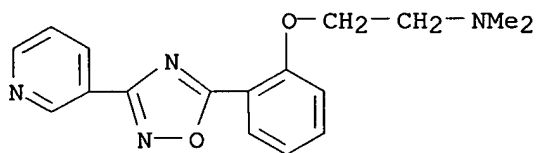
● HCl

RN 206260-77-7 HCAPLUS  
 CN Ethanamine, N,N-dimethyl-2-[2-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 206260-93-7 HCAPLUS  
 CN Ethanamine, N,N-dimethyl-2-[2-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



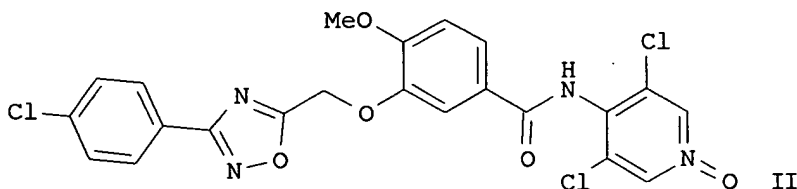
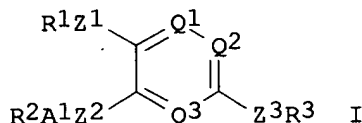
● HCl

L5 ANSWER 4 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1997:218623 HCAPLUS  
 DN 126:212048  
 TI Substituted aromatic compounds and their pharmaceutical use as inhibitors of TNF and PDE IV.  
 IN Aldous, David John; Smith, Graham Frank; Astles, Peter Charles; Pickett, Stephen Dennis; McLay, Iain McFarlane; Stuttle, Keith Alfred James; Ratcliffe, Andrew James; et al.  
 PA Rhone-Poulenc Rorer Limited, UK  
 SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9703967	A1	19970206	WO 1996-GB1746	19960722 <--
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
	AU 9665268	A1	19970218	AU 1996-65268	19960722 <--
PRAI	GB 1995-15058	A	19950722		
	GB 1995-15729	A	19950801		
	GB 1996-4531	A	19960302		
	US 1996-14212P	P	19960327		
	WO 1996-GB1746	W	19960722		
OS	MARPAT 126:212048				
GI					



AB The invention describes compds. I [wherein R1 = (un)substituted alkyl, or when Z1 = bond, R1 may also = H; R2 = (un)substituted aryl, partially saturated bicycloaryl, heteroaryl, or RaRbN; R3 = (un)substituted aryl or heteroaryl; A1 = bond, (un)substituted C1-6 alkylene or C2-6 alk(en/yn)ylene optionally interrupted by O, S, phenylene, imino, alkylimino, SO, or SO2; Z1, Z2 = O, S or bond; Z3 = C.tplbond.C, CH2CZ, CZCH2, CZCZ, CH2NH, CH2O, CH2S, CH2SO, CH2SO2, CF2O, CZNH, NHCH2, OCH2, SCH2, SOCH2, SO2CH2, OCF2, OCF2, NHCZ, N:N, NHSO2, SO2NH, CZCZNH, NHCOO, OCONH, C(:NORc)CH2, C(F):N, CH(F)CH2, or NHCONH; Z = O or S; Ra, Rb = alkyl or arylalkyl; or NRaRb = 4- to 6-membered cyclic amine optionally containing addnl. O, S, NH, or NRc or substituted with oxo; Rc = alkyl or arylalkyl; Q1, Q2, Q3 = CH, CX1, or N; and X1 = halo] and their N-oxides, prodrugs, pharmaceutically acceptable salts, and solvates (e.g. hydrates). The invention also describes processes for preparing I, pharmaceutical compns. comprising I, and their use in therapy as inhibitors of TNF and type IV cAMP phosphodiesterase (PDE) (no data). For example,

5-[[ (3,5-dichloropyridin-4-yl)imino]fluoromethyl]-2-methoxyphenol (preparation given) was etherified with 3-(4-chlorophenyl)-5-(hydroxymethyl)-1,2,4-oxadiazole using the Mitsunobu reaction, followed by conversion of the imidoyl fluoride function to an amide using KOSiMe<sub>3</sub>, and N-oxidation using m-ClC<sub>6</sub>H<sub>4</sub>C(O)OOH, to give title compound II.

IT **187970-09-8P 187970-75-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

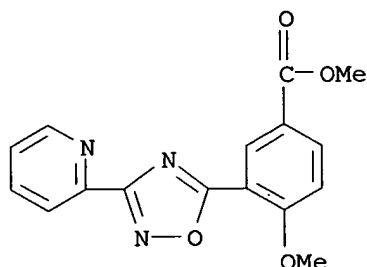
(intermediate; preparation of substituted aromatic compds. as inhibitors of

TNF

and PDE IV)

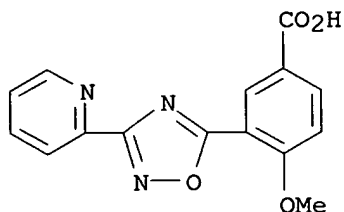
RN 187970-09-8 HCAPLUS

CN Benzoic acid, 4-methoxy-3-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 187970-75-8 HCAPLUS

CN Benzoic acid, 4-methoxy-3-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



IT **187969-18-2P 187969-57-9P**

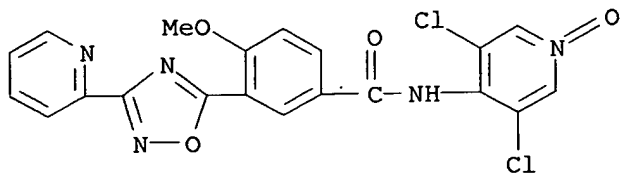
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted aromatic compds. as inhibitors of TNF and PDE

IV)

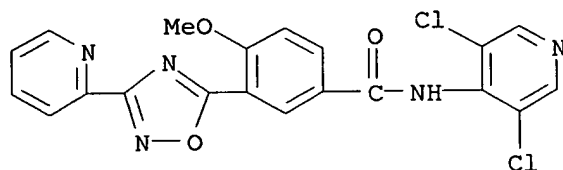
RN 187969-18-2 HCAPLUS

CN Benzamide, N-(3,5-dichloro-1-oxido-4-pyridinyl)-4-methoxy-3-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



RN 187969-57-9 HCAPLUS

CN Benzamide, N-(3,5-dichloro-4-pyridinyl)-4-methoxy-3-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:743723 HCAPLUS

DN 126:18874

TI Preparation of benzimidazoles as modulators of the GABAA receptor complex  
IN Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro

PA Neurosearch A/S, Den.; Meiji Seika Kaisha, Ltd.

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

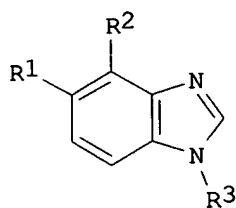
DT Patent

LA English

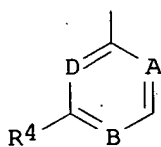
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9633194	A1	19961024	WO 1996-EP1606	19960417 <--
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	CA 2218493	AA	19961024	CA 1996-2218493	19960417 <--
	AU 9656891	A1	19961107	AU 1996-56891	19960417 <--
	AU 695957	B2	19980827		
	EP 821684	A1	19980204	EP 1996-914932	19960417 <--
	EP 821684	B1	20011205		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI				
	CN 1182427	A	19980520	CN 1996-193419	19960417 <--
	CN 1072669	B	20011010		
	JP 11501320	T2	19990202	JP. 1996-531464	19960417 <--
	JP 3342874	B2	20021111		
	RU 2135493	C1	19990827	RU 1997-119173	19960417 <--
	BR 9608048	A	19991130	BR 1996-8048	19960417 <--
	CZ 287545	B6	20001213	CZ 1997-3292	19960417

AT 210132	E	20011215	AT 1996-914932	19960417
EP 1164134	A1	20011219	EP 2001-112476	19960417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
SK 282425	B6	20020107	SK 1997-1399	19960417
PL 183853	B1	20020731	PL 1996-322892	19960417
EE 4310	B1	20040615	EE 1997-283	19960417
CA 2217601	AA	19961024	CA 1996-2217601	19960419 <--
CA 2217601	C	20020416		
CN 1182426	A	19980520	CN 1996-193420	19960419 <--
NO 9704844	A	19971216	NO 1997-4844	19971020 <--
NO 314504	B1	20030331		
US 5922724	A	19990713	US 1998-945023	19980205 <--
HK 1015674	A1	20021011	HK 1998-111156	19981009
PRAI DK 1995-460	A	19950421		
EP 1996-914932	A3	19960417		
WO 1996-EP1606	W	19960417		
OS MARPAT 126:18874				
GI				



I



II

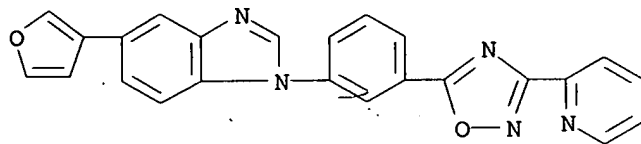
AB The title compds. [I; R1, R2 = H, (un)substituted furanyl, isoxazolyl; R3 = II (wherein A, B, D = each CH, or one or two of A, B and D = N and the others are CH; R4 = (un)substituted Ph, benzimidazolyl, or monocyclic heteroaryl)], useful for the treatment of various CNS disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders, were prepared. Thus, cyclization of N-[3-(1-imidazolyl)phenyl]-2-amino-4-(3-furanyl)aniline with HCOOH afforded 84% I [R1 = 3-furanyl; R2 = H; A, B, D = CH; R4 = 1-imidazolyl] which showed IC50 of 0.4 nM against the specific binding of 3H-FNM.

IT **184097-27-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzimidazoles as modulators of the GABAA receptor complex)

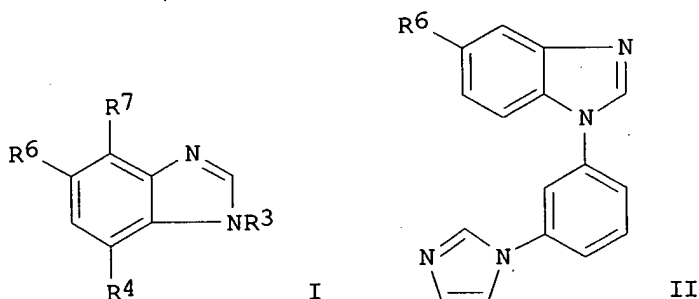
RN 184097-27-6 HCAPLUS

CN 1H-Benzimidazole, 5-(3-furanyl)-1-[3-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1996:580566 HCAPLUS  
 DN 125:300997  
 TI Benzimidazole compounds useful as benzodiazepine receptor ligands  
 IN Teuber, Lene; Axelsson, Oskar; Watjen, Frank  
 PA Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.  
 SO U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5554630	A	19960910	US 1995-410572	19950324 <--
	ZA 9402079	A	19941024	ZA 1994-2079	19940324 <--
	US 5554632	A	19960910	US 1994-352585	19941209 <--
PRAI	DK 1993-337	A	19930324		
	DK 1993-1055	A	19930921		
	US 1994-207774	B2	19940308		
OS	MARPAT 125:300997				
GI					

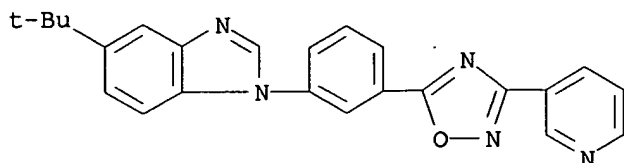


AB The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH2, NO2, cyano, halo, acylamino, (un)substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH2, NO2, cyano, acylamino, CF3, (un)substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K2CO3 and CuBr at 200°, to give title compound II [R6 = CF3]. In an in-vivo test for inhibition of [3H]-flunitrazepam specific binding to mouse forebrain GABAA receptors, II [R6 = CF3] had an ED50 of 7.3 mg/kg i.p., and II [R6 = Me] had an ED50 of 0.8 mg/kg i.p.

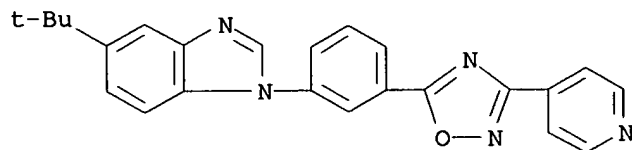
IT 159725-07-2P 159725-08-3P 182630-95-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzimidazole derivs. as benzodiazepine receptor ligands)

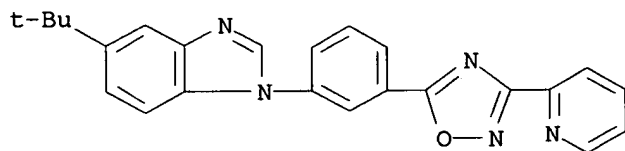
RN 159725-07-2 HCAPLUS  
 CN 1H-Benzimidazole, 5-(1,1-dimethylethyl)-1-[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 159725-08-3 HCAPLUS  
 CN 1H-Benzimidazole, 5-(1,1-dimethylethyl)-1-[3-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 182630-95-1 HCAPLUS  
 CN 1H-Benzimidazole, 5-(1,1-dimethylethyl)-1-[3-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

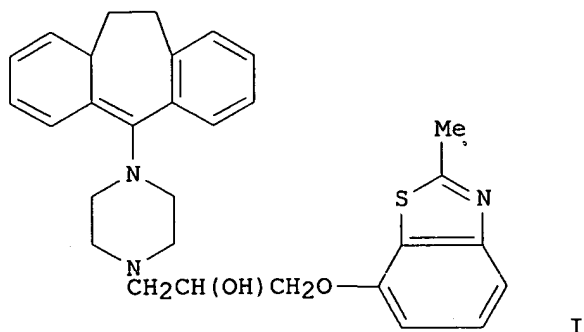


L5 ANSWER 7 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1995:570765 HCAPLUS  
 DN 122:314571  
 TI Preparation of substituted heterocycle compounds enhancing antitumor activity of other cytotoxic agents  
 IN Arnold, Lee D.; Coe, Jotham W.; Kaneko, Takushi; Moyer, Mikel P.  
 PA Pfizer Inc., USA  
 SO PCT Int. Appl., 157 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422846	A1	19941013	WO 1994-US1724	19940228 <--
	W: CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	FI 9401452	A	19941001	FI 1994-1452	19940329 <--

PRAI US 1993-40233  
OS MARPAT 122:314571  
GI

A 19930330



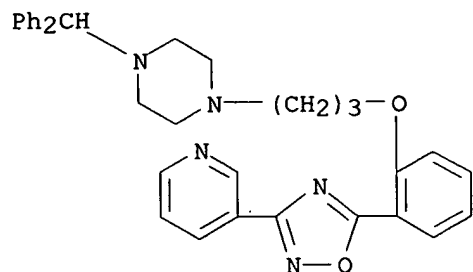
AB Title compds. R100R101R102N ( R100 = Q1A1B1Y2(CH2)mCH(Z1)Y1, Q1O(CH2)2C(OH)(R103)CH2, substituted cycloalkyl, etc., wherein R103 = C1-4 alkyl, Y1 = O, H2C, (CH2)2, bond; Z1 = H, HO, F3C, O2N, C1-4 alkoxy; Y2 = O, S, HN, MeN, bond, CONH, NHCO; B1 = bond, substituted Ph; A1 = bond, C1-4 alkylene, O, S, HN; Q1 = (substituted) heterocyclyl, (substituted) aryl; R100, R101 = H, C1-4 alkyl, C2-4 alkenyl-Ph, C1-4 alkyl-substituted Ph; R102 = H, (substituted)aryl, (substituted)heterocyclyl, etc.) and a salt thereof, useful for inhibiting P-glycoprotein in a mammal and as anticancer agents (no data), are prepared 2-Methyl-7-(2-oxiranylmethoxy)benzothiazole and 1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazine were refluxed to give the title compound I.

IT 163296-43-3P 163296-44-4P 163296-45-5P  
163296-93-3P 163296-97-7P 163296-98-8P  
163297-77-6P 163297-78-7P 163297-79-8P  
163297-82-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted heterocycle compds. enhancing antitumor activity of other cytotoxic agents)

RN 163296-43-3 HCAPLUS

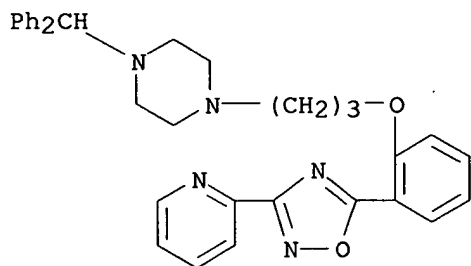
CN Piperazine, 1-(diphenylmethyl)-4-[3-[2-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]propyl]- (9CI) (CA INDEX NAME)





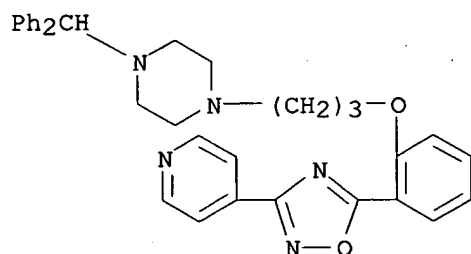
RN 163296-44-4 HCAPLUS

CN Piperazine, 1-(diphenylmethyl)-4-[3-[2-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]propyl]- (9CI) (CA INDEX NAME)



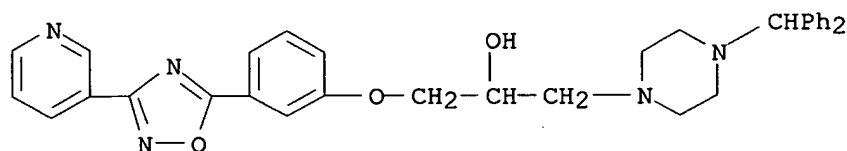
RN 163296-45-5 HCAPLUS

CN Piperazine, 1-(diphenylmethyl)-4-[3-[2-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]propyl]- (9CI) (CA INDEX NAME)



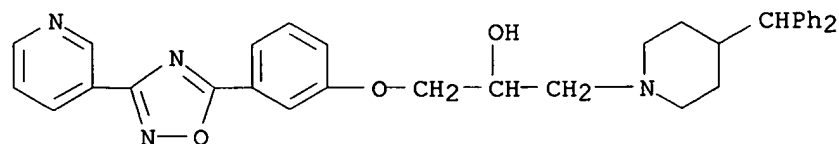
RN 163296-93-3 HCAPLUS

CN 1-Piperazineethanol, 4-(diphenylmethyl)-α-[[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 163296-97-7 HCAPLUS

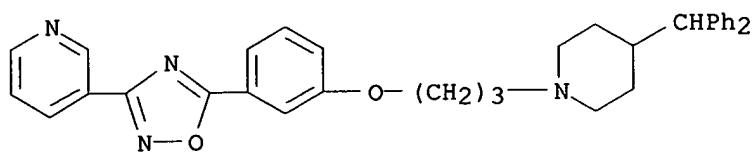
CN 1-Piperidineethanol, 4-(diphenylmethyl)-α-[[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



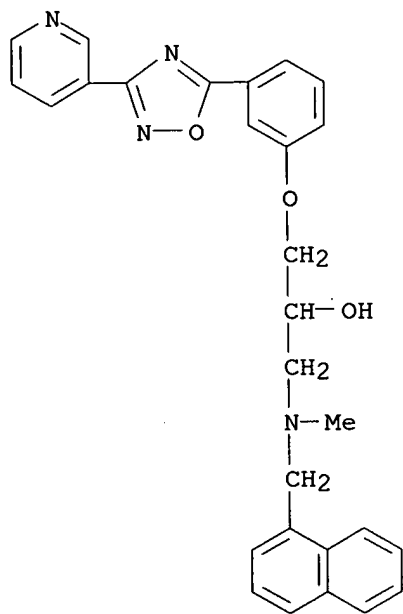
RN 163296-98-8 HCAPLUS

CN Pyridine, 3-[5-[3-[3-[4-(diphenylmethyl)-1-piperidinyl]propoxy]phenyl]-

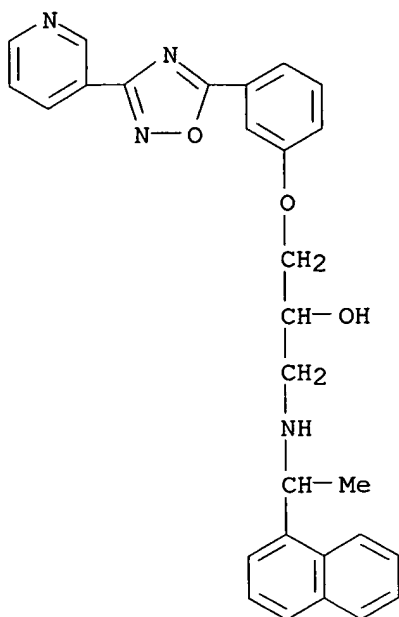
1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



2-Propanol, 1-[methyl(1-naphthalenylmethyl)amino]-3-[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]- (9CI) (CA INDEX NAME)

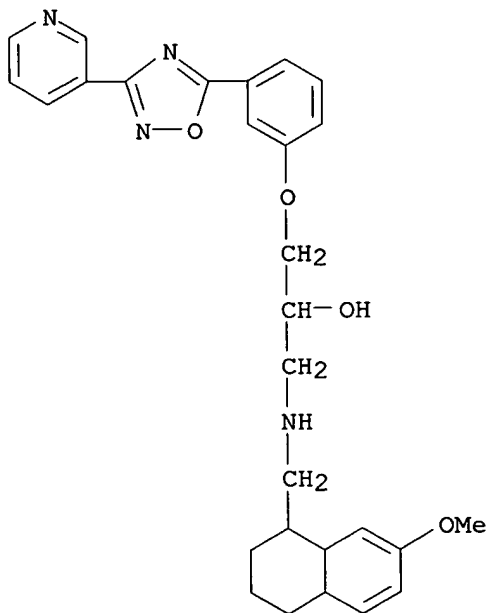


RN 163297-78-7 HCAPLUS  
CN 2-Propanol, 1-[[1-(1-naphthalenyl)ethyl]amino]-3-[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]- (9CI) (CA INDEX NAME)



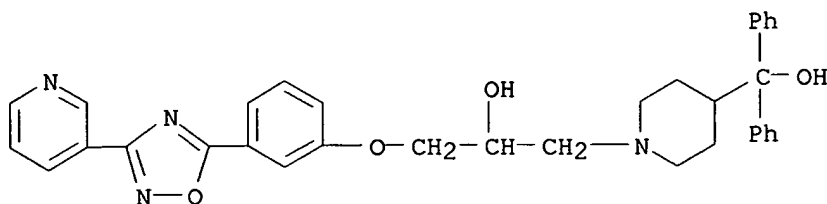
RN 163297-79-8 HCAPLUS

CN 2-Propanol, 1-[[[(1,2,3,4,4a,8a-hexahydro-7-methoxy-1-naphthalenyl)methyl]amino]-3-[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]- (9CI) (CA INDEX NAME)



RN 163297-82-3 HCAPLUS

CN 1-Piperidineethanol, 4-(hydroxydiphenylmethyl)-α-[[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



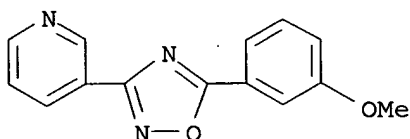
IT 163299-12-5P 163299-13-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted heterocycle compds. enhancing antitumor activity of other cytotoxic agents)

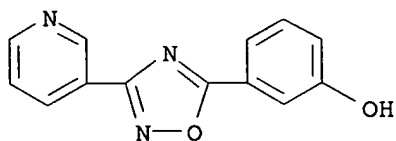
RN 163299-12-5 HCAPLUS

CN Pyridine, 3-[5-(3-methoxyphenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



RN 163299-13-6 HCAPLUS

CN Phenol, 3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:252476 HCAPLUS

DN 122:31527

TI Preparation of benzimidazole derivatives for the treatment of central nervous system disorders.

IN Axelsson, Oskar; Teuber, Lene; Watjen, Frank

PA Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SO Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

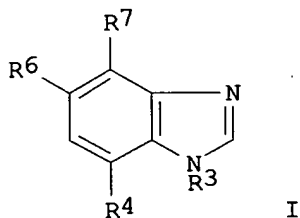
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 616807	A1	19940928	EP 1994-610012	19940311 <--
	EP 616807	B1	19980708		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AU 9457521	A1	19940929	AU 1994-57521	19940303 <--

AU 675484	B2	19970206		
AT 168007	E	19980715	AT 1994-610012	19940311 <--
ES 2119124	T3	19981001	ES 1994-610012	19940311 <--
CA 2119511	AA	19940925	CA 1994-2119511	19940321 <--
CA 2119511	C	20020716		
NO 9401052	A	19940926	NO 1994-1052	19940323 <--
CN 1099391	A	19950301	CN 1994-103348	19940323 <--
CN 1057088	B	20001004		
FI 9401378	A	19940925	FI 1994-1378	19940324 <--
FI 113651	B1	20040531		
ZA 9402079	A	19941024	ZA 1994-2079	19940324 <--
JP 07002838	A2	19950106	JP 1994-78094	19940324 <--
JP 3466265	B2	20031110		
PRAI DK 1993-337	A	19930324		
DK 1993-1055	A	19930921		
OS MARPAT 122:31527				
GI				

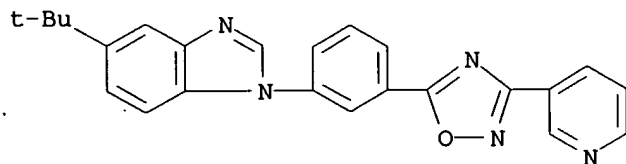


AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.

IT **159725-07-2 159725-08-3**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzimidazole derivs. GABA receptor antagonists or agonists)

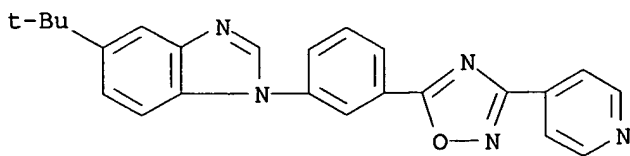
RN 159725-07-2 HCAPLUS

CN 1H-Benzimidazole, 5-(1,1-dimethylethyl)-1-[3-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

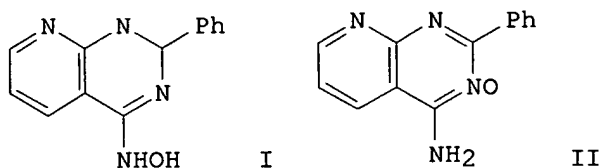


RN 159725-08-3 HCAPLUS

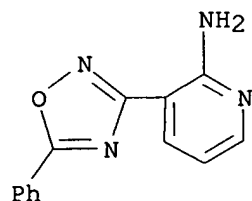
CN 1H-Benzimidazole, 5-(1,1-dimethylethyl)-1-[3-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1988:21823 HCAPLUS  
 DN 108:21823  
 TI Synthesis and transformations of some pyrido[2,3-d]pyrimidines  
 AU Kocevar, Marijan; Koller, Joze; Stanovnik, Branko; Tisler, Miha  
 CS Dep. Chem., E. Kardelj Univ., Ljubljana, YU-61000, Yugoslavia  
 SO Monatshefte fuer Chemie (1987), 118(3), 399-407  
 CODEN: MOCMB7; ISSN: 0026-9247  
 DT Journal  
 LA English  
 OS CASREACT 108:21823  
 GI

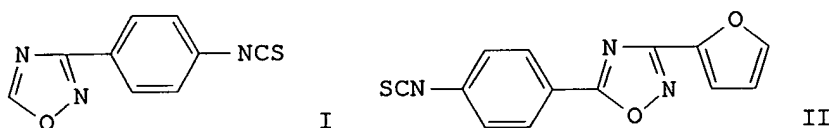


AB Pyridopyrimidines, e.g., I, and their N-oxides, e.g., II, were prepared from 2-amino-3-cyanopyridine. I and II readily undergo ring cleavage to various pyridine derivs.  
 IT **82216-41-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 82216-41-9 HCAPLUS  
 CN 2-Pyridinamine, 3-(5-phenyl-1,2,4-oxadiazol-3-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1985:487815 HCAPLUS  
 DN 103:87815

TI Antiparasitic agents. 6. Synthesis and anthelmintic activities of novel isothiocyanatophenyl-1,2,4-oxadiazoles  
 AU Haugwitz, R. D.; Martinez, A. J.; Venslavsky, J.; Angel, R. G.; Maurer, B. V.; Jacobs, G. A.; Narayanan, V. L.; Cruthers, L. R.; Szanto, J.  
 CS Squibb Inst. Med. Res., Princeton, NJ, 08540, USA  
 SO Journal of Medicinal Chemistry (1985), 28(9), 1234-41  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 OS CASREACT 103:87815  
 GI



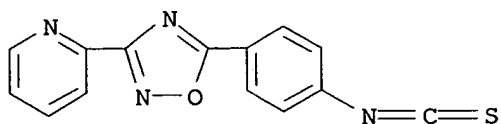
AB The synthesis and anthelmintic activities of 31 3- and 5-(isothiocyanatophenyl)-1,2,4-oxadiazoles were given. In the primary anthelmintic screen, 3-(4-isothiocyanatophenyl)-1,2,4-oxadiazole (I) showed 100% nematocidal activity and 3-(2-furanyl)-5-(4-isothiocyanatophenyl)-1,2,4-oxadiazole (II), 3-(2-furanyl)-5-(2-chloro-4-isothiocyanatophenyl)-1,2,4-oxadiazole, and 3-(2-furanyl)-5-(4-chloro-3-isothiocyanatophenyl)-1,2,4-oxadiazole showed 100% taeniocidal activity when administered orally to mice. The two most active members of this series, I and II were active against gastrointestinal nematodes of sheep at 100 mg/kg. I was also active against hookworms in dogs at a single oral dose of 200 mg/kg.

IT 96898-70-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and nematocidal activity of)

RN 96898-70-3 HCAPLUS

CN Pyridine, 2-[5-(4-isothiocyanatophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)

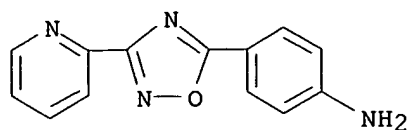


IT 96898-94-1

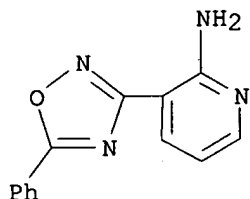
RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with thiophosgene)

RN 96898-94-1 HCAPLUS

CN Benzenamine, 4-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



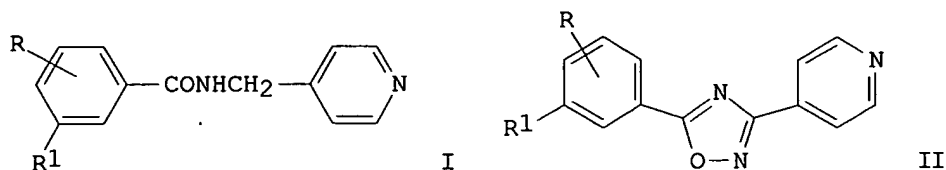
L5 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1985:45876 HCAPLUS  
 DN 102:45876  
 TI Synthesis of 4-aminopyrimidines from 1,2,4-oxadiazoles. I. New general method for the preparation of 4-aminoquinazolines and their hetero analogs  
 AU Korbonits, Dezso; Kiss, Pal; Simon, Kalman; Kolonits, Pal  
 CS Chinoin Pharm. Chem. Werke, Budapest, H-1325, Hung.  
 SO Chemische Berichte (1984), 117(11), 3183-93  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DT Journal  
 LA German  
 OS CASREACT 102:45876  
 GI For diagram(s), see printed CA Issue.  
 AB Catalytic hydrogenation of 1,2,4-oxadiazoles I [R = H; R1 = (un)substituted alkyl, Ph; A = benzene, pyrazole, 1,2,3-triazole, pyridine, pyrimidine residue] gave 2-amino-N-acylarenecarboxamides II which were dehydrated to give condensed 4-aminopyrimidines III. The corresponding secondary amines (I, A = benzene residue; R = Et, R1 = Me; R = R1 = Me) gave 4-iminoquinazolines IV. Reduction and dehydration of I (A = benzene residue, R = Ac, Bz, R1 = Me, Ph) gave, via a somewhat different pathway, 4-(acylamino)quinazolines V (R2 = Me, Ph).  
 IT **82216-41-9**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (hydrogenolysis and cyclization of)  
 RN 82216-41-9 HCAPLUS  
 CN 2-Pyridinamine, 3-(5-phenyl-1,2,4-oxadiazol-3-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1984:6403 HCAPLUS  
 DN 100:6403  
 TI Synthesis of 5-aryl-3-(4-pyridyl)-1,2,4-oxadiazoles  
 AU Brana, Miguel F.; Castellano, Jose M.; Yunta, Maria J. R.  
 CS Fac. Cienc. Quim., Univ. Complutense, Madrid, Spain  
 SO Journal of Heterocyclic Chemistry (1983), 20(5), 1403-5  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 OS CASREACT 100:6403



GI



AB Treating pyridylmethylbenzamides I (R = 2-, 3-, 4-Me, 4-MeO; R1 = H, Me) with NOCl in CHCl<sub>3</sub> gave 12-49% oxadiazoles II.

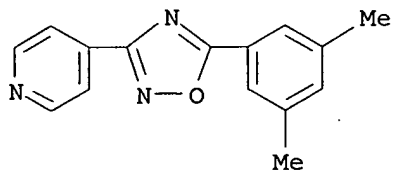
IT **88059-52-3P 88059-53-4P 88059-54-5P**

**88059-55-6P 88085-28-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

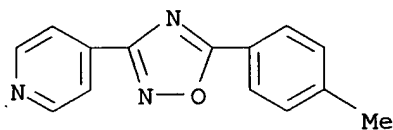
RN 88059-52-3 HCAPLUS

CN Pyridine, 4-[5-(3,5-dimethylphenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



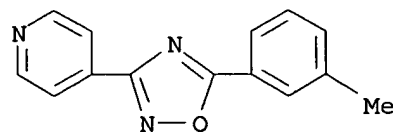
RN 88059-53-4 HCAPLUS

CN Pyridine, 4-[5-(4-methylphenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



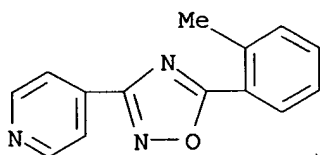
RN 88059-54-5 HCAPLUS

CN Pyridine, 4-[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



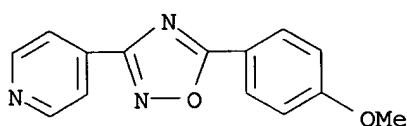
RN 88059-55-6 HCAPLUS

CN Pyridine, 4-[5-(2-methylphenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



RN 88085-28-3 HCAPLUS

CN Pyridine, 4-[5-(4-methoxyphenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:423676 HCAPLUS

DN 97:23676

TI Ring transformation of 3-(2-aminoaryl)-1,2,4-oxadiazoles into 3-acylaminoindazoles; extension of the Boulton-Katritzky scheme

AU Korbonits, Dezso; Kanzel-Szoboda, Ida; Horvath, Karoly

CS Chinoin Pharm. Chem. Works, Budapest, H-1325, Hung.

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1982), (3), 759-66

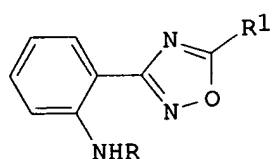
CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

OS CASREACT 97:23676

GI



I



II

AB Thirty-four oxadiazoles I (R = H, alkyl, acyl, aryl; R1 = alkyl, aryl) underwent ring transformation to the corresponding 3-(acylamino)indazoles II in high yield on heating in DMF at 150° or on melting. Seven 3-(2-aminoheteroaryl)-1,2,4-oxadiazoles reacted similarly. Depending on the reaction conditions and on R, the rearrangement of I to II follows 2 different mechanisms but is invariably promoted by electron-attracting substituents at C-5. Rate consts. for many of the rearrangements are

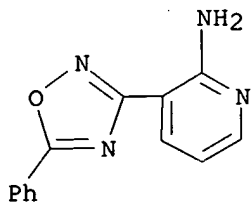
reported.

IT 82216-41-9P 82216-42-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and rearrangement of, to (acylamino)pyridopyrazole)

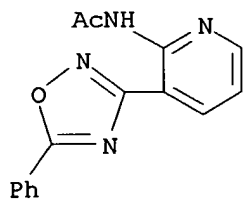
RN 82216-41-9 HCAPLUS

CN 2-Pyridinamine, 3-(5-phenyl-1,2,4-oxadiazol-3-yl)- (9CI) (CA INDEX NAME)



RN 82216-42-0 HCAPLUS

CN Acetamide, N-[3-(5-phenyl-1,2,4-oxadiazol-3-yl)-2-pyridinyl]- (9CI) (CA  
INDEX NAME)



L5 ANSWER 14 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1981:550674 HCAPLUS

DN 95:150674

TI 1,2,4-Oxadiazole derivatives

PA Sumitomo Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 12 pp.

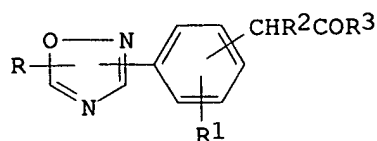
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 56065881	A2	19810603	JP 1979-142540	19791101 <--
PRAI	JP 1979-142540	A	19791101		
GI					



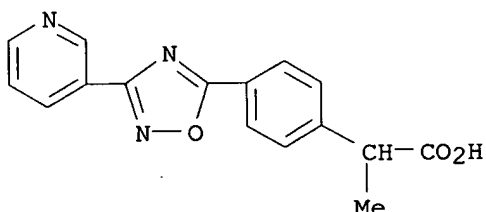
I

AB Thirty-one 1,2,4-oxadiazole derivs. I (R = H, alkyl, alkenyl, etc.; R1 = H, halo, NO2, NH2, OH, etc.; R2 = H, alkyl; R3 = OH, alkoxy, hydroxyalkoxy, etc.) were prepared by, e.g., reaction of RCO2H derivs. with R3COCHR2C6H3R1C(:NOH)NH2 followed by intramol. cyclodehydration of the resulting R3COCHR2C6H3R1C(NH2):NO2CR. I had antiinflammatory, analgesic, and antipyretic activities (no data). Thus, 0.51 g AcCl reacted with 1.4 g 4-EtO2CCHMeC6H4C(:NOH)NH2 in THF containing Et3N to give 1.65 g 4-EtO2CCHMeC6H4C(NH2):NOAc, which was refluxed in PhMe 10 h to give 1.2 g 3-[4-[ $\alpha$ -(ethoxycarbonyl)ethyl]phenyl]-5-methyl-1,2,4-oxadiazole.

IT **79148-27-9P 79148-35-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

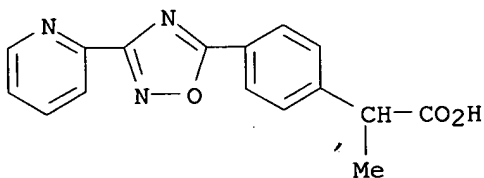
RN 79148-27-9 HCAPLUS

CN Benzeneacetic acid,  $\alpha$ -methyl-4-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



RN 79148-35-9 HCAPLUS

CN Benzeneacetic acid,  $\alpha$ -methyl-4-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1980:130618 HCAPLUS

DN 92:130618

TI Stilbene compounds

IN Erckel, Ruediger; Roesch, Guenther

PA Hoechst A.-G., Fed. Rep. Ger.

SO Ger. Offen., 15 pp. Addn. to Ger. Offen. 2,709,924.  
 CODEN: GWXXBX

DT Patent

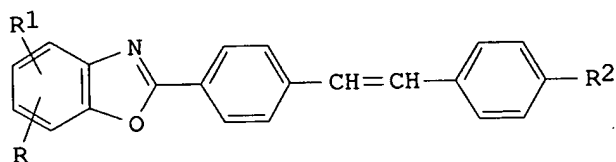
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2820322	A1	19791115	DE 1978-2820322	19780510 <--
	ES 480216	A1	19791016	ES 1979-480216	19790504 <--

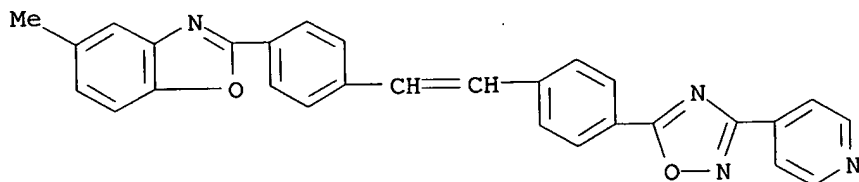
EP 7392	A1	19800206	EP 1979-101404	19790508 <--
EP 7392	B1	19830608		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
AT 3715	E	19830615	AT 1979-101404	19790508 <--
DK 7901915	A	19791111	DK 1979-1915	19790509 <--
AU 7946883	A1	19791115	AU 1979-46883	19790509 <--
AU 521927	B2	19820506		
BR 7902845	A	19791127	BR 1979-2845	19790509 <--
JP 54151977	A2	19791129	JP 1979-55810	19790509 <--
ZA 7902227	A	19800528	ZA 1979-2227	19790509 <--
CA 1111036	A1	19811020	CA 1979-327257	19790509 <--
US 4310665	A	19820112	US 1980-191000	19800926 <--
PRAI DE 1978-2820322	A	19780510		
US 1979-36688	A1	19790507		
EP 1979-101404	A	19790508		

GI

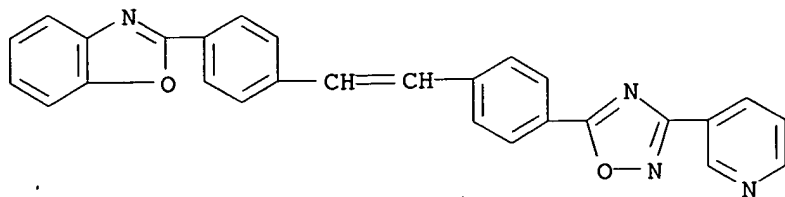


AB Stilbene derivs. (I; R, R1 = H, F, Cl, Ph, lower alkyl, lower alkoxy, lower dialkylamino, lower trialkylammonium, acylamino, CO2H or SO3H derivs.; RR1 = phenylene, lower alkylene, 1,3-dioxapropylene; R2 = heterocycl-1,2,4-oxadiazolyl) with fluorescence maximum 428-483 nm (DMF) are prepared for use as whiteners for plastics and synthetic fibers. Thus, 4'-benzoxazol-2-ylstilbene-4-carbonyl chloride [4763-80-8] was added to pyridine-4-amidoxime [1594-57-6] in DMF, the reaction mixture heated, refluxed, and filtered to give I (R = R1 = H, R2 = 3-(4-pyridyl)-1,2,4-oxadiazol-5-yl) [73097-43-5] with fluorescence maximum (DMF) 432 nm.

IT 73097-33-3P 73097-34-4P 73097-35-5P  
 73097-38-8P 73097-39-9P 73097-43-5P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and fluorescence of)  
 RN 73097-33-3 HCAPLUS  
 CN Benzoxazole, 5-methyl-2-[4-[2-[4-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]ethenyl]phenyl]- (9CI) (CA INDEX NAME)

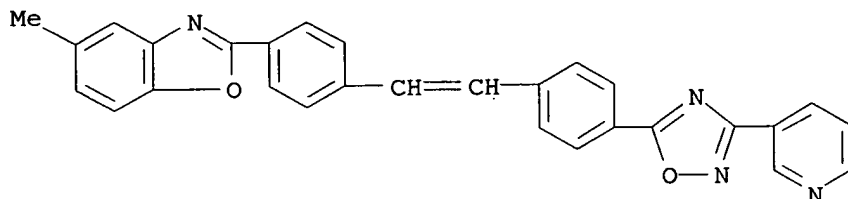


RN 73097-34-4 HCAPLUS  
 CN Benzoxazole, 2-[4-[2-[4-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]ethenyl]phenyl]- (9CI) (CA INDEX NAME)



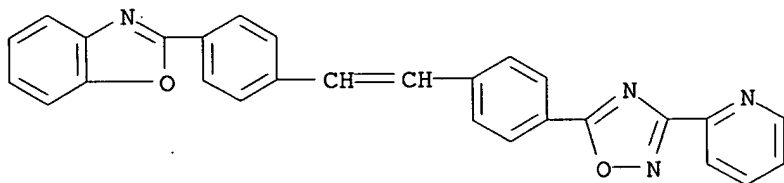
RN 73097-35-5 HCAPLUS

CN Benzoxazole, 5-methyl-2-[4-[2-[4-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]ethenyl]phenyl]- (9CI) (CA INDEX NAME)



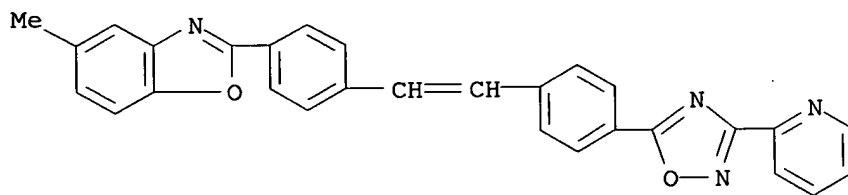
RN 73097-38-8 HCAPLUS

CN Benzoxazole, 2-[4-[2-[4-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]ethenyl]phenyl]- (9CI) (CA INDEX NAME)



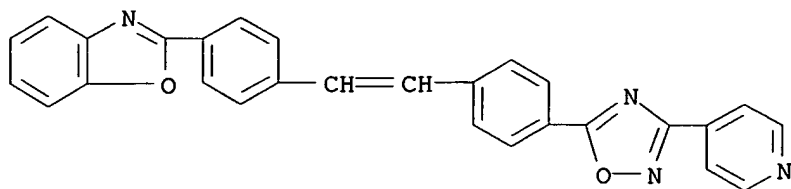
RN 73097-39-9 HCAPLUS

CN Benzoxazole, 5-methyl-2-[4-[2-[4-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]ethenyl]phenyl]- (9CI) (CA INDEX NAME)

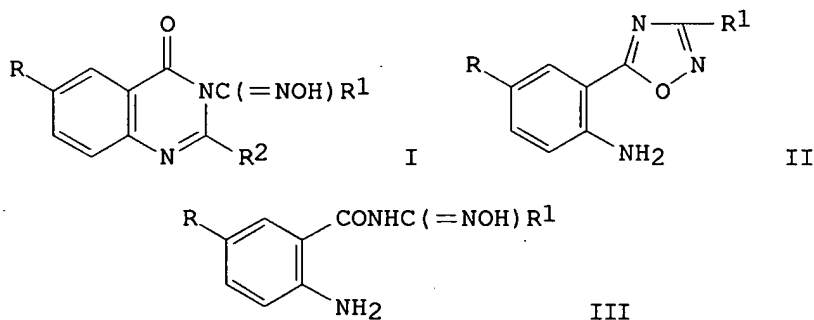


RN 73097-43-5 HCAPLUS

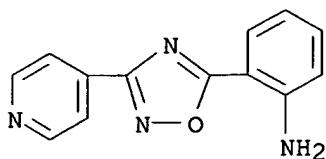
CN Benzoxazole, 2-[4-[2-[4-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]ethenyl]phenyl]- (9CI) (CA INDEX NAME)



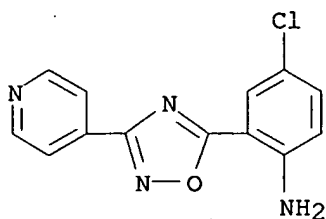
L5 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1979:439392 HCAPLUS  
 DN 91:39392  
 TI A synthesis of 3-hydroxyiminoacyl-4-quinazolones and transformation into 1,2,4-oxadiazoles  
 AU Nagahara, Katsuhiko; Takada, Atsushi  
 CS Sch. Pharm. Sci., Kitasato Univ., Tokyo, 108, Japan  
 SO Heterocycles (1979), 12(2), 239-42  
 CODEN: HTCYAM; ISSN: 0385-5414  
 DT Journal  
 LA English  
 OS CASREACT 91:39392  
 GI



AB Quinazolones I (R = H, Cl; R1 = Ph, p-tolyl, 4-ClC6H4, 4-pyridyl; R2 = Me, Et) were heated with HCl in EtOH to yield oxadiazoles II. A mixture of I (R = H, R1 = Ph, R2 = Me) and HCl in EtOH was refluxed 8 h to give 5-(2-aminophenyl)-3-phenyl-1,2,4-oxadiazole. The cyclocondensation of anthranilamides III with R2C(OEt)3 (R2 = Me, Et) gave I.  
 IT **70722-58-6P 70722-59-7P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 70722-58-6 HCAPLUS  
 CN Benzenamine, 2-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



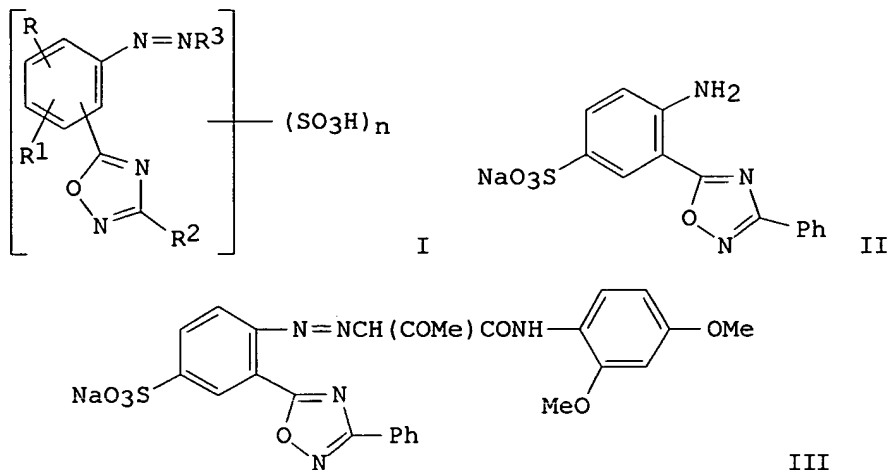
RN 70722-59-7 HCAPLUS  
 CN Benzenamine, 4-chloro-2-[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1978:599084 HCAPLUS  
 DN 89:199084  
 TI Azo dyes containing sulfonic acid groups and oxadiazolyl residues  
 IN Kurtz, Walter; Dehnert, Johannes  
 PA BASF A.-G., Fed. Rep. Ger.  
 SO Ger. Offen., 38 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2709660	A1	19780907	DE 1977-2709660	19770305 <--
	US 4203894	A	19800520	US 1978-879753	19780221 <--
	FR 2382483	A1	19780929	FR 1978-5839	19780301 <--
	FR 2382483	B1	19800307		
	CH 634339	A	19830131	CH 1978-2209	19780301 <--
	GB 1595516	A	19810812	GB 1978-8499	19780303 <--
	JP 53110627	A2	19780927	JP 1978-24608	19780306 <--
PRAI	DE 1977-2709660	A	19770305		
GI					





AB Fast yellow to red dyes (I) for polyamide fibers are prepared, where R = H, Cl, Br, or HO<sub>3</sub>S, R<sub>1</sub> = H, Cl, Br, NO<sub>2</sub>, Me, or CF<sub>3</sub>, R<sub>2</sub> = H or substituted alkyl, benzyl, phenethyl, cyclohexyl, Ph, naphthyl, pyridyl, thienyl, or furyl, R<sub>3</sub> = aromatic, heterocyclic, or acetoacetarylde coupler residue, and n = 1, 2, or 3. Thus, diazotization of II [68117-87-3] and coupling with MeCOCH<sub>2</sub>CONHC<sub>6</sub>H<sub>3</sub>(OMe)<sub>2</sub>-2,4 [16715-79-0] gave III [68117-88-4], a yellow powder which dyed polyamide fibers or wool light- and wetfast greenish yellow shades.

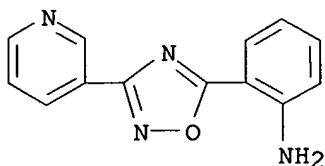
IT **68117-85-1**

RL: USES (Uses)

(coupling of diazotized, with aminohydroxynaphthalenesulfonic acid)

RN 68117-85-1 HCAPLUS

CN Benzenamine, 2-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]- (9CI) (CA INDEX NAME)



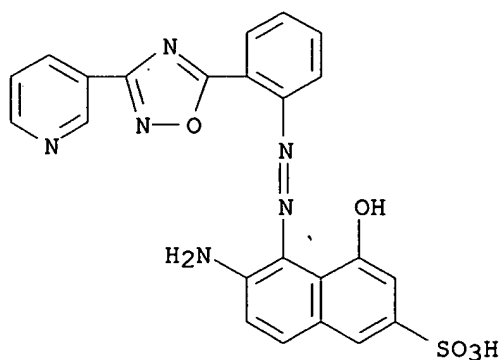
IT **68117-86-2P**

RL: PREP (Preparation)

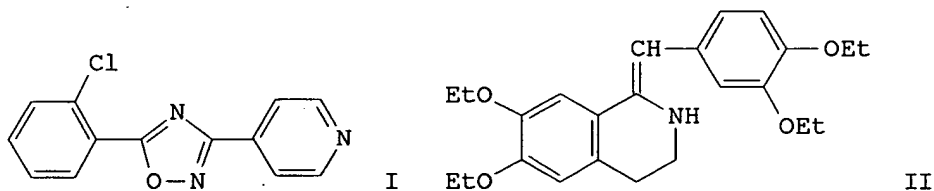
(manufacture of, for dyeing polyamide fibers)

RN 68117-86-2 HCAPLUS

CN 2-Naphthalenesulfonic acid, 6-amino-4-hydroxy-5-[[2-[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]azo]-, monosodium salt (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1978:41594 HCAPLUS  
 DN 88:41594  
 TI A contribution to the kinetics of dissolution of some modern drugs  
 AU Csontos, A.; Racz, I.; Gyarmati, L.  
 CS Inst. Pharm., Semmelweis Univ. Med. Sci., Budapest, Hung.  
 SO Pharmazie (1977), 32(8-9), 498-500  
 CODEN: PHARAT; ISSN: 0031-7144  
 DT Journal  
 LA English  
 GI

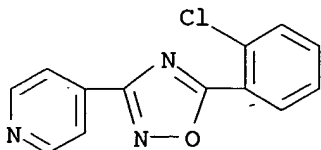


AB Dissoln. kinetics of prenylamine [390-64-7], acetazolamide [59-66-5], RJ-64 (I) [27199-40-2], carbutamide [339-43-5], and drotaverine (II) [14009-24-6] tablets (without additives) was examined in aqueous medium and in aqueous Tween 20 [9005-64-5] solution at concns. above the critical micellar concentration. The amount of drug dissolved within the unit of time decreased in case of I and II in direct proportion to the concentration of the surfactant, whereas for other drugs an increase occurred. Rate consts. of dissoln. (or saturation) of the drugs in aqueous and aqueous micellar solns. were nearly identical.

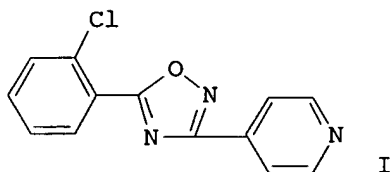
IT 27199-40-2  
 RL: PRP (Properties)

(solution rate of)

RN 27199-40-2 HCAPLUS  
 CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



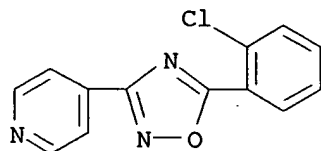
L5 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1977:589362 HCAPLUS  
 DN 87:189362  
 TI Data referring to the dissolution kinetics of some up-to-date drugs  
 AU Csontos, Andras; Racz, Istvan; Gyarmati, Laszlo  
 CS Gyogyszertani Intez., Semmelweis Orvostud. Egy., Budapest, Hung.  
 SO Acta Pharmaceutica Hungarica (1977), 47(4), 155-61  
 CODEN: APHGAO; ISSN: 0001-6659  
 DT Journal  
 LA Hungarian  
 GI



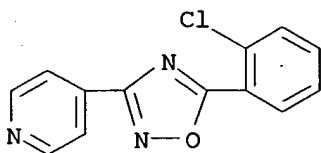
AB The dissoln. kinetics of RJ-64 (I) [27199-40-2]; drotaverin [14009-24-6]; prenylamine [390-64-7]; carbutamide [339-43-5]; and acetazolamide [59-66-5] in H<sub>2</sub>O solns., and in aqueous solns. of surfactants (Tween 20 [9005-64-5]; polyoxyethylene sorbitan monolaurate [9005-64-5]) are described. In all solns., the saturation process was kinetically excellent. For drotaverin and I, the amts. of the dissolving substance decreased in equal proportions with the surfactant concns. in contrast to results observed with the rest of the tested drugs.

IT 27199-40-2  
 RL: PRP (Properties)  
 (solution rate of, surfactant effects on)

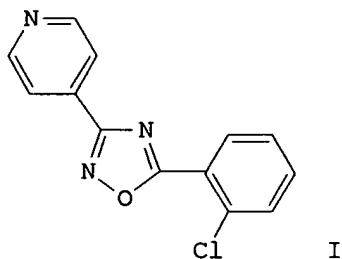
RN 27199-40-2 HCAPLUS  
 CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1976:559934 HCAPLUS  
 DN 85:159934  
 TI Achievements of pharmaceutical research in the field of 1,2,4-oxadiazoles  
 AU Harsanyi, Kalman  
 CS Chinoin Gyogyszer es Vegyeszeti Termekek Gyara, Budapest, Hung.  
 SO Magyar Kemikusok Lapja (1976), 31(2), 95-7  
 CODEN: MGKLAL; ISSN: 0025-0163  
 DT Journal; General Review  
 LA Hungarian  
 AB A review of the author's work since 1961 leading to the antitussive  
 Prenoxdiazine and the muscle relaxant Udarnol.  
 IT **27199-40-2**  
 RL: RCT (Reactant); RACT (Reactant or reagent))  
 RN 27199-40-2 HCAPLUS  
 CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX  
 NAME)



L5 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1976:516469 HCAPLUS  
 DN 85:116469  
 TI The metabolism of 3-(4-pyridyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole in the  
 rat  
 AU Gyarmati, Laszlo; Csontos, Andras; Racz, Istvan; Satori, Eva; Harsanyi,  
 Kalman  
 CS Inst. Pharm., Semmelweis Med. Univ., Budapest, Hung.  
 SO Pharmazie (1976), 31(4), 246-7  
 CODEN: PHARAT; ISSN: 0031-7144  
 DT Journal  
 LA German  
 GI

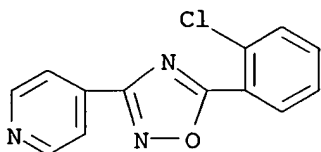


AB 3-(4-Pyridyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole (RJ-64) (I) [27199-40-2] given orally to rats was metabolized to o-chlorobenzoic acid [118-91-2], o-chlorohippuric acid [16555-60-5], and o-chlorobenzoylisonicotinic acid amidoxime [59936-34-4] which were isolated from urine and feces. Two other unidentified metabolites were observed only in the urine.

IT 27199-40-2  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(metabolism of)

RN 27199-40-2 HCAPLUS

CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1976:471952 HCAPLUS

DN 85:71952

TI Contributory data to the metabolism of a new muscle relaxant RJ 64, 3-(4-pyridyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole

AU Gyarmati, Laszlo; Csontos, Andras; Racz, Istvan; Satory, Eva; Harsanyi, Kalman

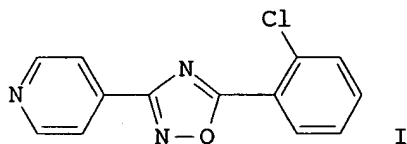
CS Gyogyszereszeti Intez., Semmelweis Orvostud. Egy., Budapest, Hung.

SO Acta Pharmaceutica Hungarica (1976), 46(2), 64-72  
CODEN: APHGAO; ISSN: 0001-6659

DT Journal

LA Hungarian

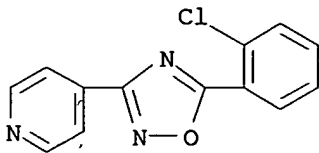
GI



AB When RJ 64 (I) [27199-40-2] was administered orally to rats at 500 mg/kg, unchanged I, o-chlorobenzoic acid [118-91-2], o-chlorobenzoylisonicotinic acid amidoxime [30063-80-0] and o-chlorohippuric acid [16555-60-5] were detected in urine and feces. Two addnl., unidentified metabolites were also detected, one of them only in urine.

IT 27199-40-2  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(metabolism of)

RN 27199-40-2 HCAPLUS  
 CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 23 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1971:436043 HCAPLUS  
 DN 75:36043  
 TI 4-(1,2,4-Oxadiazol-3- or -5-yl)pyridinium salts for lowering blood sugar levels  
 IN Bauer, Victor John; Fanshawe, William J.; Safir, Sidney R.  
 PA American Cyanamid Co.  
 SO U.S., 5 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3574842	A	19710413	US 1969-875529	19691110 <--
PRAI	US 1969-875529	A	19691110		

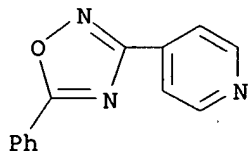
AB The title compds. were prepared by reaction of an amidoxime with an anhydride or an orthoformate followed by treatment of the oxadiazolylpyridine product with an alkyl halide. Thus, isonicotinamidoxime and Ac2O were warmed to give 4-(5-methyl-1,2,4-oxadiazol-3-yl)pyridine (I). I was heated with MeCl in a bomb to give 1-methyl-4-(5-methyl-1,2,4-oxadiazol-3-yl)pyridinium chloride. Other analogs (27) were similarly prepared

IT **22926-71-2P 22926-72-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

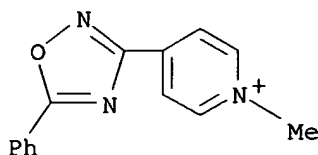
RN 22926-71-2 HCAPLUS

CN Pyridine, 4-(5-phenyl-1,2,4-oxadiazol-3-yl)- (8CI, 9CI) (CA INDEX NAME)



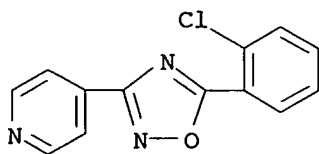
RN 22926-72-3 HCAPLUS

CN Pyridinium, 1-methyl-4-(5-phenyl-1,2,4-oxadiazol-3-yl)-, chloride (8CI)  
 (CA INDEX NAME)



● Cl<sup>-</sup>

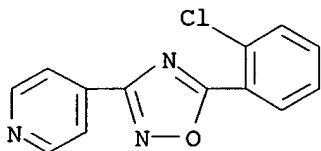
L5 ANSWER 24 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1971:51924 HCAPLUS  
 DN 74:51924  
 TI Pharmacology of a new centrally acting muscle relaxant (RJ-64)  
 [3-(4-pyridyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole]  
 AU Leszkovszky, Gyorgy; Tardos, Laszlo  
 CS Pharmacol. Res. Lab., Chinoin Pharm. Chem. Works, Budapest, Hung.  
 SO Arzneimittelforschung (1970), 20(11), 1778-83  
 CODEN: ARZNAD; ISSN: 0004-4172  
 DT Journal  
 LA English  
 GI For diagram(s), see printed CA Issue.  
 AB 3-(4-Pyridyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole (I) has a central muscle relaxant effect similar to that of chlorzoxazone. It inhibited spinal polysynaptic reflexes in rats and cats, reduced muscle strength in mice, and suppressed electroshock- and strychnine-induced seizures in rats and mice. Unlike chlorzoxazone, it inhibited the lethal and convulsive effects of nicotine in mice and, in larger doses, also inhibited the excitatory action of morphine in mice. It was ineffective against oxotremorine and against convulsions induced by leptazol and had no notable sedative, hypnotic, analgesic, hypotensive, or antiinflammatory actions. The oral LD50 in mice was >5 g/kg and in cats >2 g/kg. I acts primarily on the spinal intercalar neurons; by reducing their activity, it decreases the excitability of the motor pathways.  
 IT **27199-40-2**  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmacology of)  
 RN 27199-40-2 HCAPLUS  
 CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



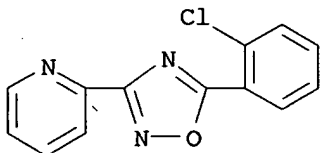
L5 ANSWER 25 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1971:40840 HCAPLUS  
 DN 74:40840  
 TI Relation between chemical structure and pharmacological activity in a

series of central muscle relaxant oxadiazole derivatives

AU Leszkovsky, Gyorgy; Tardos, Laszlo  
 CS Pharmacol. Res. Lab., Chinoin Pharm. Chem. Works, Budapest, Hung.  
 SO Acta Physiologica Academiae Scientiarum Hungaricae (1970),  
 37(3-4), 319-26  
 CODEN: APACAB; ISSN: 0001-6756  
 DT Journal  
 LA English  
 GI For diagram(s), see printed CA Issue.  
 AB 3-(4-Pyridyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole (I) and 34 derivs. were compared for their ability to inhibit strychnine and electroshock convulsions and nicotine toxicity in mice. Only 3-(2-pyridyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole was generally as active as I. 3-( $\alpha$ -Aminobenzyl)-5-(2-chlorophenyl)-1,2,4-oxadiazole inhibited not only nicotine toxicity but also pentetrazole convulsions, which I did not do. Activity of I was reduced, but not completely abolished, by reversing the position of the substituents. Quarternization of the pyridine ring also abolished effectiveness. The Cl atom attached to the N through a C chain containing 3 C atoms in sp<sup>2</sup> hybrid state seemed of crucial importance for pharmacol. activity. A further factor necessary for pharmacol. activity seems to be the attachment to the other C atom of the oxadiazole ring of an aromatic group (4-pyridyl or 2-pyridyl) having a N atom and an appropriate electron distribution.  
 IT 27199-40-2 27199-42-4 27199-45-7  
 27199-48-0 27199-49-1 27390-37-0  
 31433-47-3  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (antispasmodic activity of)  
 RN 27199-40-2 HCAPLUS  
 CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)

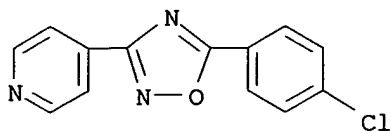


RN 27199-42-4 HCAPLUS  
 CN Pyridine, 2-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)

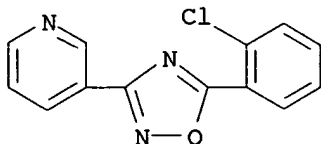


RN 27199-45-7 HCAPLUS  
 CN Pyridine, 4-[5-(p-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)

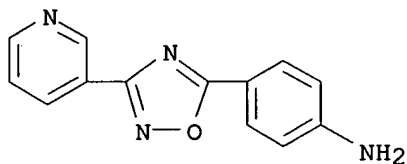




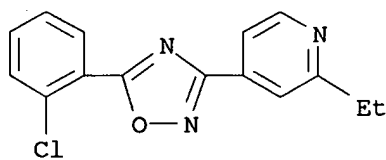
RN 27199-48-0 HCAPLUS  
 CN Pyridine, 3-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



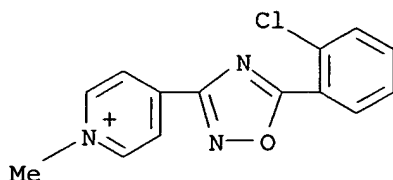
RN 27199-49-1 HCAPLUS  
 CN Pyridine, 3-[5-(p-aminophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



RN 27390-37-0 HCAPLUS  
 CN Pyridine, 4-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]-2-ethyl- (8CI) (CA INDEX NAME)



RN 31433-47-3 HCAPLUS  
 CN Pyridinium, 4-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]-1-methyl-, iodide (8CI) (CA INDEX NAME)

● I<sup>-</sup>

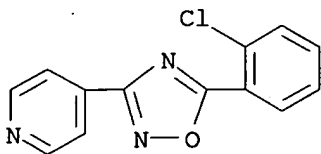
L5 ANSWER 26 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1971:13156 HCAPLUS  
 DN 74:13156  
 TI Therapeutic pyridyl-1,2,4-oxadiazoles  
 IN Harsanyi, Kalman; Reiter, Jozsef; Korbonits, Dezso; Takacs, Kalman; Bako, Erzsebet; Leszkovszky, Gyorgy; Tardos, Laszlo; Vertesy, Csaba  
 PA Chinoin Gyogyszer- es Vegyeszeti Termekek Gyara Rt.  
 SO Ger. Offen., 20 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1920037	A	19701112	DE 1969-1920037	19690419 <--
	US 3647809	A	19720307	US 1969-815520	19690408 <--
	IL 31990	A1	19740516	IL 1969-31990	19690408 <--
	GB 1271302	A	19720419	GB 1969-1271302	19690414 <--
	AT 292727	B	19710910	AT 1969-3754	19690418 <--
	AT 292728	B	19710910	AT 1970-8156	19690418 <--
	FR 2007529	A5	19700113	FR 1969-12994	19690424 <--
	FR 2007529	B1	19730316		
	CH 540925	A	19731015	CH 1969-6275	19690424 <--
	CH 542232	A	19731115	CH 1972-14769	19690424 <--
	BE 732131	A	19691001	BE 1969-732131	19690425 <--
	NL 6906401	A	19691028	NL 1969-6401	19690425 <--
	NO 124253	B	19720327	NO 1969-1733	19690425 <--
	BR 6908381	A0	19730208	BR 1969-208381	19690425 <--
	JP 48024394	B4	19730720	JP 1969-32259	19690425 <--
	SE 368576	B	19740708	SE 1969-5909	19690425 <--
	CA 954858	A1	19740917	CA 1969-49755	19690425 <--
	PL 79435	P	19750630	PL 1969-133199	19690425 <--
PRAI	HU 1968-CI796	A	19680426		
GI	For diagram(s), see printed CA Issue.				
AB	The antitussive, spasmolytic, local anesthetic, and coronary dilating title compds. (I) were prepared Thus, refluxing II and 0-ClC <sub>6</sub> H <sub>4</sub> CO <sub>2</sub> Et in EtOH 8 hr gave 81.5% I (R = 2-pyridyl, R <sub>1</sub> = 0-ClC <sub>6</sub> H <sub>4</sub> ). Among 31 compds. similarly prepared were I (R and R <sub>1</sub> given): 4-pyridyl, p-ClC <sub>6</sub> H <sub>4</sub> ; o-EtOC <sub>6</sub> H <sub>4</sub> , 3-pyridyl; styryl, 4-pyridyl; 3-pyridyl, o-ClC <sub>6</sub> H <sub>4</sub> ; 4-pyridyl, 4-pyridyl; 4-pyridyl, 3-pyridyl.				
IT	27199-40-2P 27199-41-3P 27199-42-4P 27199-45-7P 27199-48-0P 27199-49-1P 27390-37-0P 27390-40-5P				

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 27199-40-2 HCAPLUS

CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



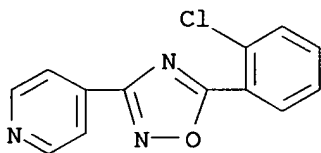
RN 27199-41-3 HCAPLUS

CN Pyridine, 4-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]-, monomethiodide (8CI) (CA INDEX NAME)

CM 1

CRN 27199-40-2

CMF C13 H8 Cl N3 O



CM 2

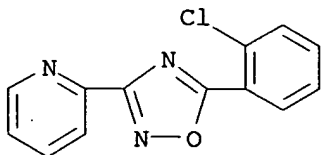
CRN 74-88-4

CMF C H3 I

H<sub>3</sub>C-I

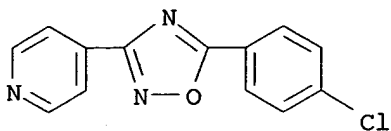
RN 27199-42-4 HCAPLUS

CN Pyridine, 2-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)

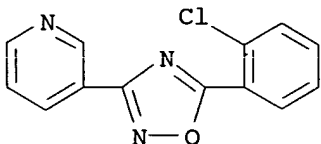


RN 27199-45-7 HCAPLUS

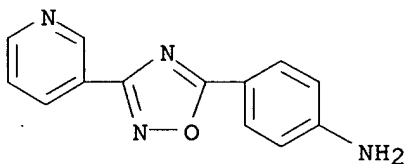
CN Pyridine, 4-[5-(p-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



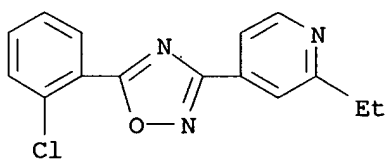
RN 27199-48-0 HCAPLUS  
 CN Pyridine, 3-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



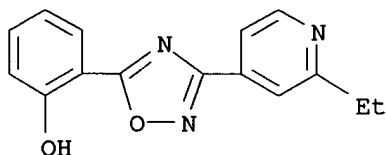
RN 27199-49-1 HCAPLUS  
 CN Pyridine, 3-[5-(p-aminophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



RN 27390-37-0 HCAPLUS  
 CN Pyridine, 4-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]-2-ethyl- (8CI) (CA INDEX NAME)



RN 27390-40-5 HCAPLUS  
 CN Phenol, o-[3-(2-ethyl-4-pyridyl)-1,2,4-oxadiazol-5-yl]- (8CI) (CA INDEX NAME)



L5 ANSWER 27 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1970:100719 HCAPLUS

DN 72:100719

TI Pyridyloxadiazole derivatives

IN Harsanyi, Kalman; Reiter, Jozsef; Korbonits, Dezso; Gonczi, Csaba; Takacs, Kalman; Bako, Erzsebet; Leszkovszky, Gyorgy; Tardos, Laszlo; Vertessy, Csaba

PA Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt

SO Hung., 24 pp.  
CODEN: HUXXAT

DT Patent

LA Hungarian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	HU 156976 FR 2007529		19700131	HU FR	19680426 <--
GI	For diagram(s), see printed CA Issue.				
AB	A mixture of 0.1 mole iso-nicotinamide oxime (I) and 0.2 mole o-ClC <sub>6</sub> H <sub>4</sub> CO <sub>2</sub> Et in 60 ml absolute EtOH was refluxed 30 min, 0.1 mole NaOEt in 40 ml absolute EtOH				

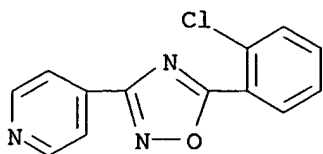
added, and the mixture refluxed 8 hr to give 83% II (R = 4-pyridyl, R<sub>1</sub> = o-ClC<sub>6</sub>H<sub>4</sub>) (IIa) m. 111° (96% EtOH); meth-iodide m. 247° (80% EtOH). IIa was also obtained by treating I with o-ClC<sub>6</sub>H<sub>4</sub>COCl-pyridine, (o-ClC<sub>6</sub>H<sub>4</sub>CO)2O-C<sub>6</sub>H<sub>6</sub>, by heating I with o-ClC<sub>6</sub>H<sub>4</sub>CHO or o-ClC<sub>6</sub>H<sub>4</sub>CH(OMe)<sub>2</sub>, and with o-ClC<sub>6</sub>H<sub>4</sub>-COCl in alkaline medium, followed by heating the isonicotinamide oxime o-chlorobenzoate 1 hr at 130°. Similarly prepared were II (R, R<sub>1</sub>, and m.p. given): 2-pyridyl, o-ClC<sub>6</sub>H<sub>4</sub>, 93-5° (EtOH); o-ClC<sub>6</sub>H<sub>4</sub>, 4-pyridyl, 138-40° (EtOH) methiodide m. 231-2° (80% EtOH); 4-pyridyl, p-ClC<sub>6</sub>H<sub>4</sub>, 168-70°; o-ETOC<sub>6</sub>H<sub>4</sub>, 3-pyridyl, 121-2°; PhCH:CH, 4-pyridyl, 115°; 3-pyridyl, o-ClC<sub>6</sub>H<sub>4</sub>, 85°; 3-pyridyl, p-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, 217°; 3-pyridyl, piperidinomethyl, - (maleate m. 141°); 3-pyridyl, 2-(1-pyrrolidiny)-ethyl, - (maleate m. 135°); 2-pyridyl, 2-piperidinoethyl, - (maleate m. 135°); 2-pyridyl, 2-morpholinoethyl, - (di-HCl salt m. 198°); 3-pyridyl, p-ClC<sub>6</sub>H<sub>4</sub>OCH<sub>2</sub>, 135-8°; 4-pyridyl, Me, 97°; 4-pyridyl, 3-pyridyl, 134°; 4-pyridyl, 4-pyridyl, 164°; 4-pyridyl, 2-piperidinoethyl, 149°; 4-pyridyl, 2-morpholinoethyl, 143°; 2-ethyl-4-pyridyl, Me, - (HCl salt m. 221°); 2-ethyl-4-pyridyl, o-ClC<sub>6</sub>H<sub>4</sub>, 66°; 2-ethyl-4-pyridyl, 2-pyridyl, - (di-HCl salt m. 230°); 2-ethyl-4-pyridyl, o-HOC<sub>6</sub>H<sub>4</sub>, 103°; 2-ethyl-4-pyridyl, 4-pyridyl, 67°; 2-ethyl-4-pyridyl, 2-ethyl-j-pyridyl, - (di-HCl salt m. 253°); 2-ethyl-4-pyridyl, p-ClC<sub>6</sub>H<sub>4</sub>-CH<sub>2</sub>, - (HCl salt m. 185-7°); 4-pyridyl, p-ClC<sub>6</sub>H<sub>4</sub>OCH<sub>2</sub>, 146-7°; 2-ethyl-4-pyridyl, 2-piperidinoethyl, - (di-HCl salt m. 218°).

IT 27199-40-2P 27199-41-3P 27199-42-4P  
27199-45-7P 27199-48-0P 27199-49-1P  
27390-37-0P 27390-40-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 27199-40-2 HCAPLUS

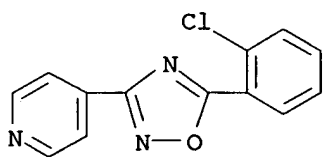
CN Pyridine, 4-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



RN 27199-41-3 HCAPLUS  
 CN Pyridine, 4-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]-, monomethiodide  
 (8CI) (CA INDEX NAME)

CM 1

CRN 27199-40-2  
 CMF C13 H8 Cl N3 O

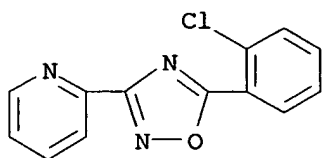


CM 2

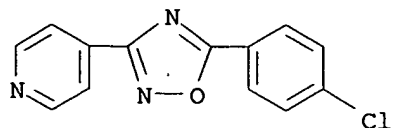
CRN 74-88-4  
 CMF C H3 I

H<sub>3</sub>C-I

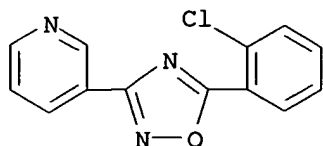
RN 27199-42-4 HCAPLUS  
 CN Pyridine, 2-[5-(2-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



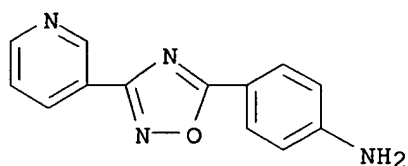
RN 27199-45-7 HCAPLUS  
 CN Pyridine, 4-[5-(p-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



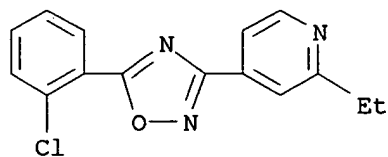
RN 27199-48-0 HCAPLUS  
 CN Pyridine, 3-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



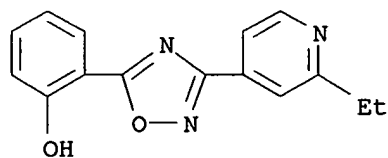
RN 27199-49-1 HCAPLUS  
 CN Pyridine, 3-[5-(p-aminophenyl)-1,2,4-oxadiazol-3-yl]- (8CI) (CA INDEX NAME)



RN 27390-37-0 HCAPLUS  
 CN Pyridine, 4-[5-(o-chlorophenyl)-1,2,4-oxadiazol-3-yl]-2-ethyl- (8CI) (CA INDEX NAME)



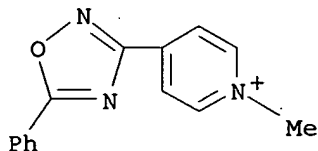
RN 27390-40-5 HCAPLUS  
 CN Phenol, o-[3-(2-ethyl-4-pyridyl)-1,2,4-oxadiazol-5-yl]- (8CI) (CA INDEX NAME)



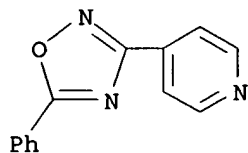
L5 ANSWER 28 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1969:403327 HCAPLUS  
 DN 71:3327  
 TI 1,2,4-Oxadiazolylpyridinium salts. Oral hypoglycemic agents  
 AU Fanshawe, William J.; Bauer, Victor J.; Safir, S. R.; Blickens, D. A.;

Riggi, S. J.

CS Organ. Chem. Res. Sect., Amer. Cyanamid Co., Pearl River, NY, USA  
 SO Journal of Medicinal Chemistry (1969), 12(3), 381-3  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 GI For diagram(s), see printed CA Issue.  
 AB A series of 1,2,4-oxadiazolyl-pyridinium quaternary salts (I) was synthesized by reaction of the appropriate amidoxime with various anhydrides and then quaternization with a variety of halides. I display hypoglycemic activity in mice.  
 IT **22926-72-3**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (as oral hypoglycemic agent)  
 RN 22926-72-3 HCAPLUS  
 CN Pyridinium, 1-methyl-4-(5-phenyl-1,2,4-oxadiazol-3-yl)-, chloride (8CI)  
 (CA INDEX NAME)

● Cl<sup>-</sup>

IT **22926-71-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 22926-71-2 HCAPLUS  
 CN Pyridine, 4-(5-phenyl-1,2,4-oxadiazol-3-yl)- (8CI, 9CI) (CA INDEX NAME)



L5 ANSWER 29 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1967:464308 HCAPLUS  
 DN 67:64308  
 TI The conversion of imidazo[1,5-a]pyridines into 3-(2-pyridyl)-1,2,4-oxadiazoles  
 AU Paudler, William W.; Kuder, James E.  
 CS Ohio Univ., Athens, OH, USA  
 SO Journal of Organic Chemistry (1967), 32(8), 2430-3  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 GI For diagram(s), see printed CA Issue.

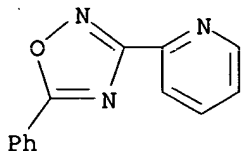


AB Imidazo[1,5-a]pyridine (I) and its 3-Me and 3-Ph derivs. rearrange, upon treatment with HONO, to 3-(2-pyridyl)-1,2,4-oxadiazole (II) and its 5-Me (III) and 5-Ph (IV) derivs., resp. Pyrolysis, alkaline hydrolysis, as well as mass, uv, and N.M.R. spectral studies were used to establish the structures of the rearrangement products. Compounds II and III were prepared by unequivocal syntheses. 19 references.

IT **13389-61-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 13389-61-2 HCAPLUS

CN Pyridine, 2-(5-phenyl-1,2,4-oxadiazol-3-yl)- (8CI) (CA INDEX NAME)



L5 ANSWER 30 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1955:77858 HCAPLUS

DN 49:77858

OREF 49:14743g-i,14744a-b

TI The synthesis of 1,2,4-oxadiazoles

AU Clarke, Kenneth

CS Univ. Hull, UK

SO Journal of the Chemical Society, Abstracts (1954) 4251-3  
 CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

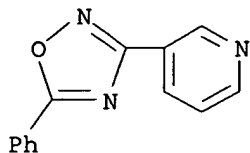
LA Unavailable

OS CASREACT 49:77858

AB The synthesis of amidoximes, RC(:NOH)NH<sub>2</sub> (Ia), and corresponding 3-substituted 5-methyl (Ib) and 5-phenyl-1,2,4-oxadiazoles (Ic) from NH<sub>2</sub>OH (I) and some substituted PhCN, 3-cyanopyridine, and phthalodinitrile (II) was reported. o-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CN undergoes hydrolysis to o-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CONH<sub>2</sub>. II with I gave the dioxime, C<sub>8</sub>H<sub>7</sub>N<sub>3</sub>O<sub>2</sub> (IIa), of phthalimide. Thus, 10 g. p-BrC<sub>6</sub>H<sub>4</sub>CN, 14 g. I.HCl, 10 g. anhydrous Na<sub>2</sub>CO<sub>3</sub>, and 150 ml. H<sub>2</sub>O were heated 1.5 hrs. on a steam bath (sufficient EtOH being added to keep the solution clear) and cooled to give 10.5 g. p-BrC<sub>6</sub>H<sub>4</sub>C(:NOH)NH<sub>2</sub> (III), m. 146-7° (from EtOH); O-Ac derivative (IIIa), m. 145°; O-Bz derivative, m. 161° III (2 g.) and 6 ml. Ac<sub>2</sub>O were heated 3-4 min., the solution cooled, and excess Ac<sub>2</sub>O decompose to give 2.1 g. 3-p-bromophenyl-5-methyl-1,2,4-oxadiazole (IV), m. 103° (from EtOH). Heating IIIa above its m.p. for a few min. also gave IV. Similarly prepared were the following Ia (R, m.p., and m.ps. of the corresponding O-Ac and O-Bz derivs., of Ib and Ic given): Ph, 80°, 96°, 148°, 41°, 108°; p-MeC<sub>6</sub>H<sub>4</sub>, 147°, 132°, 173°, 80°, 107°; o-MeOC<sub>6</sub>H<sub>4</sub>, 123°, 130°, - (di-Bz derivative, C<sub>22</sub>H<sub>18</sub>N<sub>2</sub>O<sub>4</sub>, m. 139°), 121°, 117°; p-BrC<sub>6</sub>H<sub>4</sub>, 146°, 145°, 161°, 103°, 112°; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 170°, 145°, 148°, 68°, 132°; 3-pyridyl, 134°, 147°, 194°, 113°, 142°. II (5 g.), 14 g. I.HCl, 10 g. Na<sub>2</sub>CO<sub>3</sub>, 150 ml. H<sub>2</sub>O, and 50 ml. EtOH were heated 1.5 hrs. on a steam bath to give (6 g.) IIa, m. 271° (from HOAc or dilute C<sub>5</sub>H<sub>5</sub>N); diacetate, m. 192°; dibenzoate, m. 248°. Hydrolysis of 1 g. IIa in 25

ml. 65% HNO<sub>3</sub> and 25 ml. concentrated H<sub>2</sub>SO<sub>4</sub> gave 0.65 g. phthalimide, m. and mixed m.p. 133°.

IT **330656-02-5**, Pyridine, 3-(5-phenyl-1,2,4-oxadiazol-3-yl)-  
(preparation of)  
RN 330656-02-5 HCAPLUS  
CN Pyridine, 3-(5-phenyl-1,2,4-oxadiazol-3-yl)- (9CI) (CA INDEX NAME)

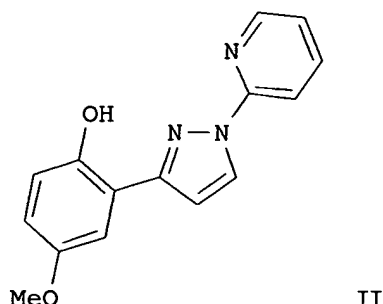
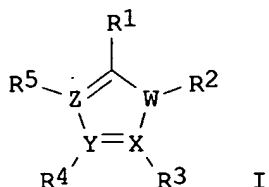


=> s l4 not l5  
L6 21 L4 NOT L5

=> dis l6 1-21 bib abs

L6 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:1124567 HCAPLUS  
DN 142:74572  
TI Preparation of heterocyclic compounds for treating hepatitis C virus  
IN Vourloumis, Dionisios; Takahashi, Masayuki; Winters, Geoff; Zhou, Jinglan; Duchene, Russell  
PA Anadys Pharmaceuticals, Inc., USA  
SO PCT Int. Appl., 416 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004110351	A2	20041223	WO 2004-US15249	20040514
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	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
	AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
	EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				
	SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,				
	SN, TD, TG				
PRAI	US 2003-470200P	P	20030514		
OS	MARPAT 142:74572				
GI					



AB The title compds. I [X, Y, Z = C, N; W = N, O, S; R1, R3-R5 = H, halo, NO2, etc.; R2 = H, alkyl], useful for treating Hepatitis C virus, were prepared E.g., a multi-step synthesis of II, starting from 2'-hydroxy-5'-methoxyacetophenone, was given. The compds. I were tested for inhibition of HCV replication in in vitro assays (the results of EC50 assay are given for 640 compds. I). The pharmaceutical composition comprising the compound I is disclosed.

L6 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1033553 HCAPLUS

DN 142:38256

TI Preparation of 3-(2-amino-1-azacyclopent-1-en-1-yl)-5-aryl-1,2,4-oxadiazoles as S1P receptor agonists

IN Colandrea, Vincent J.; Doherty, George A.; Hale, Jeffrey J.; Lynch, Christopher; Mills, Sander G.; Neway, William Edward, III; Toth, Leslie

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DT Patent

LA English

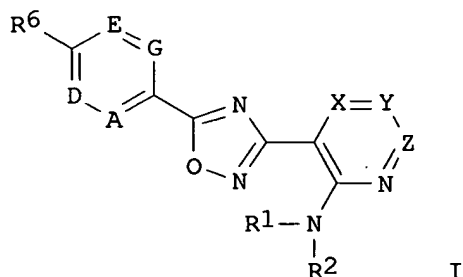
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004103279	A2	20041202	WO 2004-US14837	20040512
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	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-470659P P 20030515

OS MARPAT 142:38256

GI

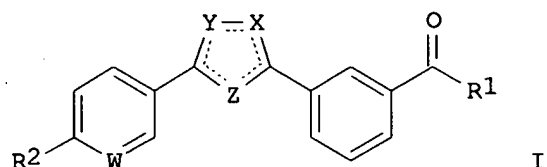


AB The present invention encompasses compds. of formula (I) [A = CR<sup>3</sup> or N; D = CR<sup>4</sup> or N; E = CR<sup>6</sup> or N; G = CR<sup>7</sup> or N; with the proviso that at least one of A, D, E and G is not N; X, Y, Z = N or CR<sup>8</sup>, with the proviso that at least one of X, Y and Z is not N; R<sup>1</sup>, R<sup>2</sup> = H, C<sub>1</sub>-6 alkyl, optionally substituted with 1 to 3 halo groups; or NR<sup>1</sup>R<sup>2</sup> together forms a 3- to 6-membered saturated monocyclic ring; R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>7</sup> = H, halo, cyano, C<sub>1</sub>-4 alkyl or C<sub>1</sub>-4 alkoxy, each optionally substituted with 1 to 3 halo groups; R<sup>5</sup> = halo, each optionally substituted C<sub>1</sub>-6 alkyl, C<sub>2</sub>-6 alkenyl, C<sub>2</sub>-6 alkynyl, C<sub>3</sub>-6 cycloalkyl, C<sub>1</sub>-6 alkoxy, C<sub>3</sub>-6 cycloalkoxy, C<sub>1</sub>-6 acyl, or aryl, heterocyclyl; or R<sup>4</sup> and R<sup>5</sup> may be joined together with the atoms to which they are attached to form a (un)substituted 5 or 6-membered monocyclic ring, optionally containing 1 to 3 heteroatoms selected from O, S and (un)substituted NH] as well as the pharmaceutically acceptable salts thereof. These compds. are useful for treating immune mediated diseases and conditions (immunoregulatory abnormality), such as autoimmune or chronic inflammatory disease, bone marrow, organ and tissue transplant rejection, graft-vs.-host disease, or respiratory disease or condition. They have utility as immunoregulatory agents as demonstrated by their activity as potent and selective agonists of the S1P<sub>1</sub>/Edg<sub>1</sub> receptor over the S1P<sub>3</sub>/Edg<sub>3</sub> receptor with a selectivity for the S1P<sub>1</sub>/Edg<sub>1</sub> receptor over the S1P<sub>3</sub>/Edg<sub>3</sub> receptor of more than 100 fold. They possessed an EC<sub>50</sub> for binding to the S1P<sub>1</sub>/Edg<sub>1</sub> receptor of less than 50 nM as evaluated by the [<sup>35</sup>S]GTPγS binding assay. Thus, 4-(2-methylpropyl)benzoic acid was treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in DMF at room for 10 min and condensed with 2-chloro-N-hydroxynicotinamide at 120° for 3 h to give 3-[2-(Chloro)pyridin-3-yl]-5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazole (II). II was stirred with methylamine in DMF at 120° for 16 h to give 3-[2-(methylamino)pyridin-3-yl]-5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazole.

L6 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:696359 HCAPLUS  
 DN 141:225514  
 TI Preparation of heterocyclic compounds useful as Nurr-1 activators  
 IN Hintermann, Samuel; Hengerer, Bastian  
 PA Novartis AG, Switz.; Novartis Pharma GmbH  
 SO PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2004072050 A1 20040826 WO 2004-EP1372 20040213  
 W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI  
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 PRAI GB 2003-3503 A 20030214  
 OS MARPAT 141:225514  
 GI



AB The title compds. [I; R1 = OH, alkoxy, NH2, alkylamino, dialkylamino, benzyloxy, alkanoyl; R2 = alkyl, alkoxy, alkoxyalkoxy, CF3, halo, alkylamino, dialkylamino, dialkylaminoalkoxy, etc.; X = N, O; Y = N, O, CH; Z = N, CH; W = N, CH; provided that (a) R1 is not OH or alkoxy when R2 = CF3, X = O, Y = CH, Z = N and W = CH, (b) R1 is not OH or alkoxy when R2 = CF3 or Cl, X = N, Y = O, Z = CH and W = CH, (c) R1 is not OH when R2 = CF3, X = O, Y = N, Z = CH and W = CH and (d) X and Y are not simultaneously O], useful for treating Parkinson's disease, were prepared E.g., a 3-step synthesis of 3-[3-(4-fluorophenyl)-[1,2,4]oxadiazol-5-yl]benzoic acid, starting from 4-fluorobenzonitrile, was given. The compds. I showed significantly increase the Nurrl responsive reporter gene activity dose dependently at EC50 of about 1 to 1000 nM. In vivo, the compds. I significantly increase midbrain dopamine levels at doses of 5 to 30 mg/kg p.o. in OF1 mice. The pharmaceutical composition comprising the compound I is claimed.

L6 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:654838 HCAPLUS  
 DN 141:325154  
 TI Discovery of Novel Heteroarylazoles That Are Metabotropic Glutamate Subtype 5 Receptor Antagonists with Anxiolytic Activity  
 AU Roppe, Jeffrey; Smith, Nicholas D.; Huang, Dehua; Tehrani, Lida; Wang, Bowei; Anderson, Jeffrey; Brodtkin, Jesse; Chung, Janice; Jiang, Xiaohui; King, Christopher; Munoz, Benito; Varney, Mark A.; Prasit, Petpiboon; Cosford, Nicholas D. P.  
 CS Merck Research Laboratories, San Diego, CA, 92121, USA  
 SO Journal of Medicinal Chemistry (2004), 47(19), 4645-4648  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society

DT Journal  
 LA English  
 AB The highly potent, selective, and brain-penetrant metabotropic glutamate subtype 5 (mGlu5) receptor antagonists 3-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile and 3-fluoro-5-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile are reported. Compound 3-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile is active in the rat fear-potentiated startle (FPS) model of anxiety with ED50 = 5.4 mg/kg (po) when dosed acutely. In this model the anxiolytic effects of 3-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile rapidly tolerate on repeated dosing.

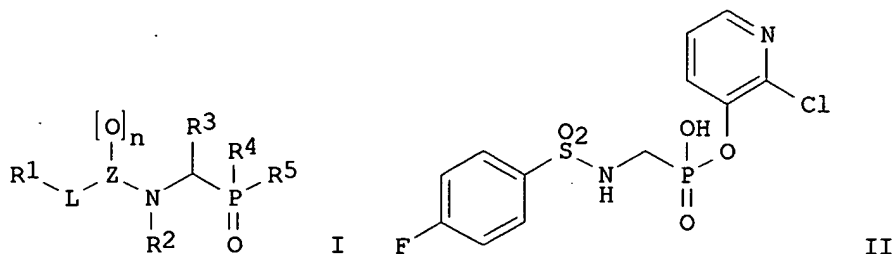
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:353142 HCAPLUS  
 DN 140:357200  
 TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta$ -lactamase  
 IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii  
 PA Methylgene, Inc., Can.  
 SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. Pat. Appl. 2004 29,836.  
 CODEN: USXXCO

DT Patent  
 LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004082546	A1	20040429	US 2003-411484	20030408
	US 6472406	B1	20021029	US 2000-610456	20000705
	US 2004059115	A1	20040325	US 2002-266213	20021008
	US 2004029836	A1	20040212	US 2002-302124	20021122
	WO 2004048393	A2	20040610	WO 2003-US36929	20031119
	WO 2004048393	A3	20040819		
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	RW:				
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PRAI	US 1999-142362P	P	19990706		
	US 2000-610456	A2	20000705		
	US 2002-266213	A2	20021008		
	US 2002-302124	A2	20021122		
	US 2003-411484	A1	20030408		
OS	MARPAT 140:357200				
GI					



AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH<sub>2</sub>, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)<sub>2</sub>; R5 = F, OR6, SR7, N(R7)<sub>2</sub>; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC<sub>50</sub> of 622  $\mu$ M against  $\beta$ -lactamase, was given.

L6 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:120574 HCAPLUS

DN 140:181318

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta$ -lactamase

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Can.

SO U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 266,213. CODEN: USXXCO

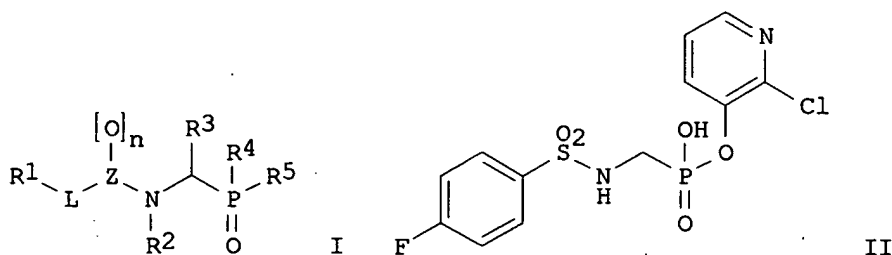
DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004029836	A1	20040212	US 2002-302124	20021122
	US 6472406	B1	20021029	US 2000-610456	20000705
	US 2004059115	A1	20040325	US 2002-266213	20021008
	US 2004082546	A1	20040429	US 2003-411484	20030408
	WO 2004048393	A2	20040610	WO 2003-US36929	20031119
	WO 2004048393	A3	20040819		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

	US 2005043276	A1	20050224	US 2004-884435	20040702
PRAI	US 1999-142362P	P	19990706		
	US 2000-610456	A2	20000705		
	US 2002-266213	A2	20021008		
	US 2002-302124	A2	20021122		
	US 2003-411484	A1	20030408		
OS	MARPAT 140:181318				
GI					



AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH<sub>2</sub>, S; n = 0-2 when Z = S; n = 1 when Z = C; n = 0 when Z = CH<sub>2</sub>; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)<sub>2</sub>; R5 = F, OR6, SR7, N(R7)<sub>2</sub>; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC<sub>50</sub> of 622  $\mu$ M against  $\beta$ -lactamase, was given.

L6 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:2699 HCAPLUS

DN 140:53471

TI Use of metabotropic glutamate receptor 5 (MGLUR5) antagonists for the treatment of gastroesophageal reflux disease (GERD) and other conditions

IN Lehmann, Anders; Mattsson, Jan

PA Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000316	A1	20031231	WO 2003-US16223	20030619
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	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
	PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR,				
	TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				



RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1513525 A1 20050316 EP 2003-731333 20030619

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRAI SE 2002-1943 A 20020620

WO 2003-US16223 W 20030619

AB The invention discloses the use of metabotropic glutamate receptor 5 antagonists for the inhibition of transient lower esophageal sphincter relaxations. The invention also discloses the use of metabotropic glutamate receptor 5 antagonists for the treatment of gastroesophageal reflux disease, as well as for the treatment of regurgitation, asthma, chronic laryngitis, lung disease, and failure to thrive.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:928788 HCAPLUS

DN 140:128346

TI The accelerated development of an optimized synthesis of 1,2,4-oxadiazoles: application of microwave irradiation and statistical design of experiments

AU Evans, Marc D.; Ring, Jessica; Schoen, Adam; Bell, Andrew; Edwards, Paul; Berthelot, Didier; Nicewonger, Robb; Baldino, Carmen M.

CS Chemistry Department, ArQule, Inc., Woburn, MA, 01801, USA

SO Tetrahedron Letters (2003), 44(52), 9337-9341

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:128346

AB Herein, the development of an optimized microwave-assisted synthesis of 1,2,4-oxadiazoles is reported. The chemical development process was significantly accelerated by employing a statistical software package (MODDE 6.0) to guide in the optimization of the reaction conditions. The resulting optimized reaction conditions were then utilized in the synthesis of a focused library of 1,2,4-oxadiazoles.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:875115 HCAPLUS

DN 139:364949

TI Preparation of triaryl-oxy-aryloxy-pyrimidinetrione metalloproteinase inhibitors with selectivity towards MMP-13

IN Reiter, Lawrence Alan; Freeman-Cook, Kevin Daniel

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 100 pp.

CODEN: PIXXD2

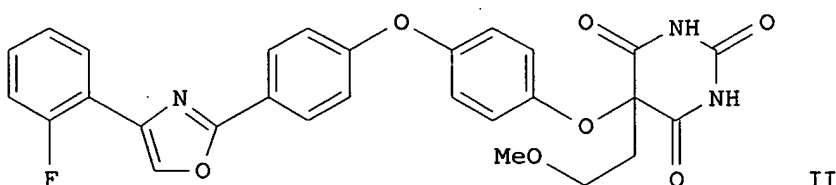
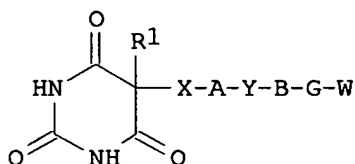
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003090752	A1	20031106	WO 2003-IB1560	20030415
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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, US, UZ, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
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 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 EP 1501515 A1 20050202 EP 2003-712588 20030415  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2003009386 A 20050222 BR 2003-9386 20030415  
 US 2004006057 A1 20040108 US 2003-424614 20030428  
 PRAI US 2002-375990P P 20020426  
 WO 2003-IB1560 W 20030415  
 OS MARPAT 139:364949  
 GI



AB The present invention relates to triaryl-oxy-aryloxy-pyrimidine-2,4,6-triones (shown as I; variables defined below; e.g. II) that are metalloproteinase inhibitors and to pharmaceutical compns. and methods of treating inflammation, cancer and other disorders. For I: R1 = H, (R2)2n+1Cn- and (C3-C7)cycloalkyl; n = 1-5; each R2 = halo, (C1-C4)alkenyl, (C1-C4)alkynyl, R3-, R3O-, perfluoro(C1-C4)alkoxy, R3C(O)O-, (R3)2NC(O)O-, -NO2, (R3)2N-, R3SO2NR4-, (R3)2NC(O)-, R3C(O)(NR4)-, R3OC(O)(NR4)-, (R3)2NC(O)NR4-, R3S-, R3S(O)-, R3SO2-, (R3)2NSO2-, -CN, R3OC(O)-, and R3C(O). X = -O-, >C:O, -S-, >SO2, >S:O, >NR5, -CH2-, -CH2O-, -OCH2-, -CH2S-, -CH2S(O)-, -CH2SO2-, -SCH2-, -S(O)CH2-, -SO2CH2-, -[N(R5)]CH2-, -CH2[N(R5)]-, -[N(R5)]SO2- and -SO2[N(R5)]-; A = (C6-C10)aryl or (C1-C10)heteroaryl; Y = a bond, -O-, -S-, >C:O, >SO2, >S:O, -CH2O-, -OCH2-, -CH2S-, -SCH2-, -CH2SO-, -CH2SO2-, -SOCH2-, -SO2CH2-, >NR6, -[N(R6)]CH2-, -CH2[N(R6)]-, -CH2-, -CH:CH-, -C:C-, -[N(R6)]SO2- and -SO2[N(R6)]-; B = (C6-C10)aryl, (C3-C7)cycloalkyl, (C1-C10)heterocyclyl and (C1-C10)heteroaryl. G = -[R7(CR8R9)p]-; wherein the orientation of -B-G-W is -B-[R7-(CR8R9)p]-W or -B-[(CR8R9)p-R7]-W; p = 0-4; W = (C1-C4)alkoxy(C1-C4)alkyl, (C3-C7)cycloalkyl, (C6-C10)aryl, (C1-C10)heteroaryl and (C1-C10)heterocyclyl; addnl. details including

provisos are given in the claims. General semiquant. statements are made about inhibition of metalloproteinases by I; no data is presented for specific examples of I. Although the methods of preparation are not claimed, example preps. of 8 intermediates and 76 I are included.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:737516 HCAPLUS

DN 139:257284

TI Cathepsin cysteine protease inhibitors and their therapeutic use

IN Bayly, Christopher I.; Black, Cameron; Leger, Serge; Li, Chun Sing; McKay, Dan; Mellon, Christophe; Gauthier, Jacques Yves; Lau, Cheuk; Therien, Michel; Truong, Vouy-Linh; Green, Michael J.; Hirschbein, Bernard L.; Janc, James W.; Palmer, James T.; Baskaran, Chitra

PA Merck Frosst Canada & Co., Can.; Axys Pharmaceuticals, Inc.

SO PCT Int. Appl., 282 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003075836	A2	20030918	WO 2003-US6147	20030228
	WO 2003075836	A3	20040715		
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	CA 2477657	AA	20030918	CA 2003-2477657	20030228
	US 2003232863	A1	20031218	US 2003-377377	20030228
	EP 1482924	A2	20041208	EP 2003-716238	20030228
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003008208	A	20050111	BR 2003-8208	20030228
PRAI	US 2002-361818P	P	20020305		
	US 2002-408704P	P	20020906		
	WO 2003-US6147	W	20030228		

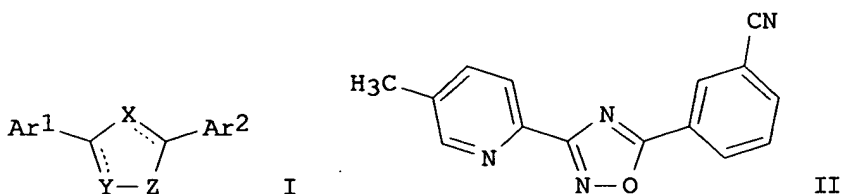
OS MARPAT 139:257284

AB This invention relates to cysteine protease inhibitors

R7(D)nCR6R7NR8CR3R4C(:O)NHCR1R2CN (R1-4 = H, (substituted)C1-6-alkyl or C2-6-alkenyl; R1 and R2 or R3 and R4 may be taken together with the C atom to which they are attached to form a (substituted)C3-8-cycloalkyl or heterocyclic ring; R5 = H, (substituted)C1-6-alkyl; R6 = (substituted)aryl, heteroaryl, C1-6-haloalkyl, arylalkyl, heteroarylalkyl; D = (substituted)C1-3-alkyl, C2-3-alkenyl, C2-3-alkynyl, aryl, heteroaryl, C3-8-cycloalkyl, heterocyclyl; R7 = H, (substituted)C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C1-6-alkyloxy, etc.; R8 = H, C2-6-alkyl) including but not limited to, inhibitors of cathepsins K, L, S and B. These compds. are useful for treating diseases in which inhibition of bone resorption is indicated, such as osteoporosis.

L6 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:222333 HCAPLUS  
 DN 138:255233  
 TI Heteropolycyclic compounds, particularly pyridyl- and phenyl-substituted  
 1,2,4-oxadiazoles and analogs, and their use as metabotropic glutamate  
 receptor antagonists for inhibiting neuronal damage  
 IN Van Wagenen, Bradford; Stormann, Thomas M.; Moe, Scott T.; Sheehan, Susan  
 M.; McLeod, Donald A.; Smith, Daryl L.; Isaac, Methvin Benjamin; Slassi,  
 Abdelmalik  
 PA NPS Pharmaceuticals, Inc., USA  
 SO U.S. Pat. Appl. Publ., 151 pp., Cont.-in-part of Appl. No. PCT/US00/22618.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003055085	A1	20030320	US 2002-76618	20020219
	US 6660753	B2	20031209		
	WO 2001012627	A1	20010222	WO 2000-US22618	20000818
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 1999-149464P	P	19990819		
	WO 2000-US22618	A2	20000818		
	US 2001-269847P	P	20010221		
OS	MARPAT 138:255233				
GI					



AB The title compds. [I; X, Y, Z = N, O, S, CR1 and at least one of X, Y, and Z = heteroatom; R1 = H, alkyl, CF3, etc.; Ar1, Ar2 = (un)substituted (hetero)aryl] that act as antagonists at metabotropic glutamate receptors, and that are useful for treating neurol. diseases and disorders, were prepared. The compds. I exhibit a high degree of potency and selectivity for individual metabotropic glutamate receptor subtypes, notably mGluR5. In particular, medical conditions associated with metabotropic glutamate receptors and therefore targeted by the invention compds. include stroke, head trauma, anoxic injury, ischemic injury, hypoglycemia, epilepsy, pain, migraine headaches, Parkinson's disease, senile dementia, Huntington's Chorea, and Alzheimer's disease. Several hundred specific examples are individually prepared and/or claimed. A variety of intermediates were also

prepared For instance, 5-methylpyrid-2-ylamidoxime was prepared from 2-bromo-5-methylpyridine by Zn- and Pd-complex-mediated cyanation (56%) and reaction of the resulting nitrile with  $\text{NH}_2\text{OH}\cdot\text{HCl}$  (60%). Cyclization of the amidoxime with 3-cyanobenzoyl chloride (86%) gave invention compound II. In a bioassay for mGluR5 antagonism in primary astrocyte cultures from rats, the invention compds. I had  $\text{IC}_{50}$  values in the range of 11 to 9140 nM.

L6 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:676015 HCAPLUS

DN 137:201315

TI Heteropolycyclic compounds, particularly pyridyl- and phenyl-substituted 1,2,4-oxadiazoles and analogs, and their use as metabotropic glutamate receptor antagonists for inhibiting neuronal damage

IN Slassi, Abdelmalik; Van Wagenen, Bradford; Stormann, Thomas M.; Moe, Scott T.; Sheehan, Susan M.; McLeod, Donald A.; Smith, Daryl L.; Isaac, Methvin Benjamin

PA Can.

SO PCT Int. Appl., 272 pp.

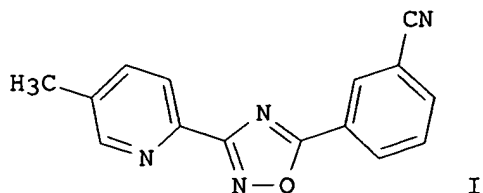
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002068417	A2	20020906	WO 2002-US4689	20020219
	WO 2002068417	A3	20021114		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2438991	AA	20020906	CA 2002-2438991	20020219
	EP 1379525	A2	20040114	EP 2002-787093	20020219
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	BR 2002007390	A	20041013	BR 2002-7390	20020219
	JP 2004536037	T2	20041202	JP 2002-567930	20020219
	NO 2003003711	A	20031017	NO 2003-3711	20030820
PRAI	US 2001-269847P	P	20010221		
	WO 2002-US4689	W	20020219		
OS	MARPAT 137:201315				
GI					



AB The invention provides compds. and pharmaceutical compns. that act as antagonists at metabotropic glutamate receptors, and that are useful for treating neurol. diseases and disorders. Methods of preparing the compds. also are disclosed. The compds. exhibit a high degree of potency and selectivity for individual metabotropic glutamate receptor subtypes, notably mGluR5. In particular, medical conditions associated with metabotropic glutamate receptors and therefore targeted by the invention compds. include stroke, head trauma, anoxic injury, ischemic injury, hypoglycemia, epilepsy, pain, migraine headaches, Parkinson's disease, senile dementia, Huntington's Chorea, and Alzheimer's disease. The invention provides methods of treating diseases associated with excitatory activation of an mGluR Group I receptor, and of inhibiting neuronal damage caused by excitatory activation of an mGluR Group I receptor, specifically wherein the mGluR Group I receptor is mGluR5. In one aspect of the invention, the antagonists may be represented by the general formula Ar1-L-Ar2, wherein Ar1 is an optionally substituted heteroarom. moiety, and Ar2 is an optionally substituted benzene ring. The L moiety is a group that not only covalently binds to the Ar1 and Ar2 moieties, and which facilitates adoption of the correct spatial orientation of Ar1 and Ar2, but also itself may interact with the protein, to effect receptor binding. In one embodiment of the invention, L is selected from the group consisting of -NH-, -S-, -O-, -CO-, -CONH-, -CONHCH2-, -CH2CONH-, -CNHNH-, -CNHNHCH2-, -C=NOCH2-, -CH2NHCH2-, -CH2CH2NH-, -NHCH2CO-, -NHCH2CHOH-, -NHCNHNH-, -NHCONH-, cyclopentane, cyclopentadiene, furan, thiofuran, pyrrolidine, pyrrole, 2-imidazoline, 3-imidazoline, 4-imidazoline, imidazole, pyrazoline, pyrazolidine, imidazolidine, oxazole, 2-oxazole, thiazole, isoxazole, isothiazole, 1H-1,2,4-triazole, 1H-1,2,3-triazole, 1,2,4-oxathiazole, 1,3,4-oxathiazole, 1,4,2-dioxazole, 1,4,2-oxathiazole, 1,2,4-oxadiazole, 1,2,4-thiadiazole, 1,2,5-oxadiazole, 1,2,5-thiadiazole, 1,3,4-oxadiazole, 1,3,4-thiadiazole, 1H-tetrazole, cyclohexane, piperidine, tetrahydropyridine, 1,4-dihydropyridine, pyridine, benzene, tetrahydropyran, 3,4-dihydro-2H-pyran, 2H-pyran, 4H-pyran, tetrahydrothiopyran, 3,4-dihydro-2H-thiopyran, 2H-thiin, 4H-thiopyran, morpholine, thiomorpholine, piperazine, pyridazine, pyrimidine, pyrazine, 1,2,4-triazine, 1,2,3-triazine, 1,3,5-triazine, and 1,2,4,5-tetrazine. In another embodiment of the invention, Ar1 is selected from the group consisting of Ph, benzyl, naphthyl, fluorenyl, anthrenyl, indenyl, phenanthrenyl, and benzonaphthenyl, and Ar2 is selected from the group consisting of thiazoyl, furyl, pyranyl, 2H-pyrrolyl, thienyl, pyrrolyl, imidazoyl, pyrazoyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, benzothiazole, benzimidazole, 3H-indolyl, indolyl, indazoyl, purinyl, quinoliziny, isoquinolyl, quinolyl, phthaliziny, naphthyridinyl, quinazolinyl, cinnolinyl, isothiazolyl, quinoxalinyl, indoliziny, isoindolyl, benzothienyl, benzofuranyl, isobenzofuranyl, and chromenyl. Several hundred specific examples are individually prepared and/or claimed. A variety of intermediates were also prepared. For instance, 5-methylpyrid-2-ylamidoxime was prepared from 2-bromo-5-methylpyridine by

Zn- and Pd-complex-mediated cyanation (56%) and reaction of the resulting nitrile with  $\text{NH}_2\text{OH}\cdot\text{HCl}$  (60%). Cyclization of the amidoxime with 3-cyanobenzoyl chloride (86%) gave invention compound I. In a bioassay for mGluR5 antagonism in primary astrocyte cultures from rats, the invention compds. had  $\text{IC}_{50}$  values in the range of 11 to 9140 nM.

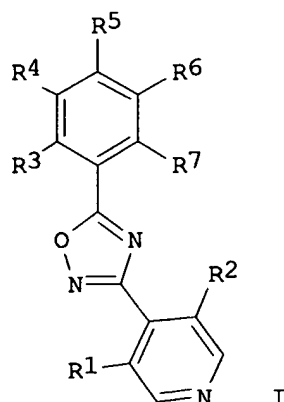
L6 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:632134 HCAPLUS  
 DN 137:286807  
 TI Influence of chemical structure on the mesomorphic behaviour of 3,5-disubstituted 1,2,4-oxadiazoles  
 AU Torgova, S.; Karamysheva, L.; Strigazzi, A.  
 CS FSUE"SRC"NIOPIK" (Organic Intermediates & Dyes Institute), Moscow, 103787, Russia  
 SO Brazilian Journal of Physics (2002), 32(2B), 593-601  
 CODEN: BJPHE6; ISSN: 0103-9733  
 PB Sociedade Brasileira de Fisica  
 DT Journal  
 LA English  
 AB The correlation between chemical structure and mesomorphic properties is one of the most important problems in liquid crystal science. 3,5-Disubstituted 1,2,4-oxadiazoles are very convenient model-compds. for studying the dependence of the LC properties on the mol. design. The transition temps. and dielec. properties of 1,2,4-oxadiazoles depend significantly both on the position of the substituents with respect to the heterocycle and on their donor or acceptor features.  
 RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:593318 HCAPLUS  
 DN 137:270916  
 TI Dielectric, calorimetric and optical investigations of pyridine-containing oxadiazoles  
 AU Becchi, Marta; Agafonova, Irina F.; Geivandova, Tatiana A.; Karamysheva, Ludmila A.; Torgova, Sofia I.; Umanskii, Boris A.; Strigazzi, Alfredo  
 CS Dipartimento di Fisica, Politecnico di Torino, Turin, I-10129, Italy  
 SO Molecular Crystals and Liquid Crystals Science and Technology, Section A: Molecular Crystals and Liquid Crystals (2002), Volume Date 2001, 372, 189-199  
 CODEN: MCLCE9; ISSN: 1058-725X  
 PB Taylor & Francis Ltd.  
 DT Journal  
 LA English  
 AB Three series of new isomeric 4-, 3- and 2-pyridine containing 1,2,4-oxadiazoles were studied via DSC and optical microscopy. DSC and microscopy studies are mostly in good agreement and show that the transition temps. and type of mesophases strictly depend on the nature and the length of the substituent in the oxazolic part of 1,2,4-oxadiazoles and on the position of the heteroatom in the pyridine substituent. The mesomorphic properties of the compds. under study were compared with analogous 1,2,4-oxadiazoles, containing only carbocyclic units.  
 RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:286136 HCAPLUS  
 DN 136:309933

TI Preparation of oxadiazole derivatives as insecticides  
 IN Fujiwara, Atsushi  
 PA Sumitomo Chemical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002114783	A2	20020416	JP 2000-308828	20001010
PRAI	JP 2000-308828		20001010		
OS	MARPAT 136:309933				
GI					



AB The title compds. I [R1 = halo; R2 = H, halo; R3 - R7 = H, halo, cyano, etc.] are prepared I [R1 = R3 = R4 = R5 = R6 = R7 = H; R2 = Cl] at 500 ppm gave  $\geq 90\%$  control of *Aphis gossypii*.

L6 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2001:816651 HCAPLUS  
 DN 135:358158

TI Preparation of N-[4-(oxadiazol-2-yl)phenylsulfonyl]-amino acid derivatives having therapeutic or preventive efficacies against glomerular disorders  
 IN Shinosaki, Toshihiro; Ninomiya, Mitsuyoshi; Watanabe, Fumihiko  
 PA Shionogi & Co., Ltd., Japan  
 SO PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083464	A1	20011108	WO 2001-JP3215	20010416
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

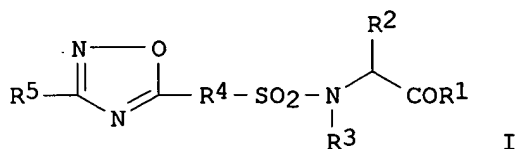


RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2000-120235 A 20000421

OS MARPAT 135:358158

GI



AB Pharmaceutical compns. for the treatment or prevention of glomerular disorders contain as the active ingredient compds. of the general formula [I; R1 = NHOH, OH, lower alkyloxy; R2, R3 = H, (un)substituted lower alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R4 = (un)substituted arylene or heteroarylene; R5 = (un)substituted aryl, heteroaryl, or nonarom. heterocyclyl], prodrugs of the same, pharmaceutically acceptable salts of both, or solvates of them. These compds. I inhibit matrix metalloproteinase (MMP) and are safe and highly effective for the prevention or treatment of glomerular disorders, in particular glomerular nephritis and diabetic nephropathy. They are also useful for the treatment of osteoarthritis, aortic aneurysm, and diabetic retinopathy. Thus, N-sulfonylation of D-phenylalanine Me ester hydrochloride with 4-chlorosulfonylbenzoic acid in aqueous Na<sub>2</sub>CO<sub>3</sub> at room temperature for 3 h gave N-(4-carboxyphenylsulfonyl)-L-phenylalanine Me ester which was converted into the acid chloride by treatment with oxalyl chloride in DMF at room temperature for 1 h and cyclocondensed with 4-fluorobenzamidoxime (preparation given)

in pyridine and diglyme at room temperature for 1 h and then at 110° for 3 h, followed by saponification with a mixture of 1 N aqueous NaOH and DMSO and acidification with aqueous 2 N HCl to give N-[4-[3-(4-fluorophenyl)-1,2,4-oxadiazol-5-yl]phenylsulfonyl]-D-phenylalanine. N-[4-[3-(5-chlorothiophen-2-yl)-1,2,4-oxadiazol-5-yl]phenylsulfonyl]-L-valine showed IC<sub>50</sub> of 0.0051, 0.056, and 0.025 μM against MMP-2, 8, and 9, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:816650 HCAPLUS

DN 135:357931

TI Preparation of oxadiazole derivatives as anticancer agents inhibiting MMP-2

IN Yoshioka, Takayuki; Maekawa, Ryuji; Watanabe, Fumihiko

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 57 pp.

CODEN: PIXXD2

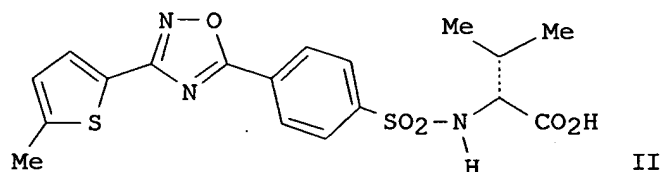
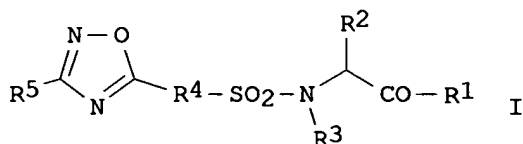
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083463	A1	20011108	WO 2001-JP3214	20010416
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

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 HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 2001046916 A5 20011112 AU 2001-46916 20010416  
 CA 2406685 AA 20021017 CA 2001-2406685 20010416  
 EP 1277744 A1 20030122 EP 2001-919938 20010416  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 BR 2001010211 A 20030603 BR 2001-10211 20010416  
 ZA 2002008307 A 20031015 ZA 2002-8307 20021015  
 NO 2002005035 A 20021219 NO 2002-5035 20021018  
 US 2003203940 A1 20031030 US 2002-257917 20021018  
 US 6720343 B2 20040413  
 US 2004122066 A1 20040624 US 2003-730946 20031210  
 PRAI JP 2000-120234 A 20000421  
 WO 2001-JP3214 W 20010416  
 US 2002-257917 A3 20021018  
 OS MARPAT 135:357931  
 GI



AB The title compds. I [R1 is hydroxyl or the like; R2 is optionally substituted lower alkyl or the like; R3 is hydrogen or the like; R4 is optionally substituted arylene or the like; and R5 is optionally substituted aryl or the like] are prepared The title compound II in vitro showed IC50 of 6 nM against MMP-2. Formulations are given.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:811834 HCAPLUS

DN 136:93757

TI Liquid crystalline pyridine-containing 1,2,4-oxadiazoles

AU Karamysheva, Ludmila A.; Agafonova, Irina F.; Torgova, Sofia I.; Umanskii, Boris A.; Strigazzi, Alfredo

CS SSC RF "NIOPIK" (Organic Intermediates and Dyes Institute), Moscow, 103787, Russia

SO Molecular Crystals and Liquid Crystals Science and Technology, Section A:  
Molecular Crystals and Liquid Crystals (2001), 364, 547-556  
CODEN: MCLCE9; ISSN: 1058-725X

PB Gordon & Breach Science Publishers

DT Journal

LA English

AB New mesomorphic 1,2,4-oxadiazoles containing as an electron-acceptor  
substituent the pyridine ring with different positions of the N atom with  
respect to the oxadiazole ring were synthesized. The reaction of the  
isonicotinic and nicotinic amidoximes with various acid chlorides smoothly  
provided the corresponding mesogenic 3-(4-pyridinyl)- and  
3-(3-pyridinyl)-1,2,4-oxadiazoles. On the contrary with picolinic  
amidoxime as a starting material mainly noncyclized nonmesomorphic  
products were obtained. Temperature and dielec. characteristics of new  
pyridinic liquid crystals were measured and compared with analogous  
parameters of corresponding Ph (cyclohexyl) substituted oxadiazoles.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:137213 HCAPLUS

DN 134:193438

TI Preparation of 3-(2-pyridyl)-5-phenyl substituted 1,2,4-oxadiazoles,  
1,2-oxazoles and 1,2,4-triazoles as metabotropic glutamate receptor  
antagonists

IN Van Wagenen, Bradford C.; Stormann, Thomas M.; Moe, Scott T.; Sheehan,  
Susan M.; McLeod, Donald A.; Smith, Daryl L.; Isaac, Methvin Benjamin;  
Slassi, Abdelmalik

PA NPS Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 63 pp.  
CODEN: PIXXD2

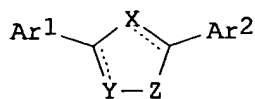
DT Patent

LA English

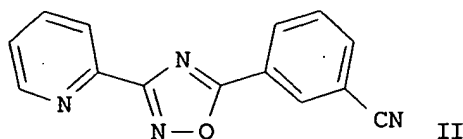
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012627	A1	20010222	WO 2000-US22618	20000818
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2381975	AA	20010222	CA 2000-2381975	20000818
EP 1210344	A1	20020605	EP 2000-955657	20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013427	A	20020730	BR 2000-13427	20000818
JP 2003507378	T2	20030225	JP 2001-517525	20000818
EE 200200079	A	20030616	EE 2002-79	20000818
NZ 517221	A	20040130	NZ 2000-517221	20000818
ZA 2002001358	A	20030519	ZA 2002-1358	20020218
NO 2002000823	A	20020417	NO 2002-823	20020219
US 2003055085	A1	20030320	US 2002-76618	20020219
US 6660753	B2	20031209		

	BG 106493	A	20030131	BG 2002-106493	20020307
PRAI	US 1999-149464P	P	19990819		
	WO 2000-US22618	W	20000818		
	US 2001-269847P	P	20010221		
OS	MARPAT 134:193438				
GI					



I



II

AB The title compds. [I; X, Y, Z = N, O, S, C, CO wherein at least one of X, Y, Z is a heteroatom; Ar1, Ar2 = heterocyclic, fused heterocyclic moiety, aromatic moiety] which act as antagonists at metabotropic glutamate receptors, and are useful for treating neurol. diseases and disorders, were prepared. Thus, reacting 3-cyanobenzoyl chloride with pyrid-2-ylamidoxime (preparation given) in pyridine afforded 64% II which showed IC50 of 43 nM in relation to CaR/mGluR5d and IC50 of 121 nM on the native receptor, mGluR5d.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:118532 HCAPLUS

DN 134:326461

TI Parallel synthesis of 1,2,4-oxadiazoles from carboxylic acids using an improved, uronium-based, activation

AU Poulain, R. F.; Tartar, A. L.; Deprez, B. P.

CS Laboratoire de Chimie Organique, UMR 8525, Faculte des Sciences Pharmaceutiques et Biologiques, Lille, F-59006, Fr.

SO Tetrahedron Letters (2001), 42(8), 1495-1498

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 134:326461

AB The synthesis of a library of 1,2,4-oxadiazoles from carboxylic acids and amidoximes is described using 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate (TBTU) as an activating agent of the carboxylic acid function for the O-acylation step.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:718232 HCAPLUS

DN 133:296449

TI Preparation of benzhydrylpiperazines and related compounds as P-glycoprotein inhibitors for enhancing the antitumor activity of other cytotoxic agents.

IN Arnold, Lee Daniel; Coe, Jotham Wadsworth; Kaneko, Takushi; Moyer, Mikel Paul

PA Pfizer Inc., USA

SO U.S., 64 pp.

CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6130217	A	20001010	US 1995-513880	19950920
PRAI	US 1995-513880		19950920		
OS	MARPAT 133:296449				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB NR100R101R102 [R100 = Y1CH(Z1)(CH2)nY2B1A1Q1, CH2C(OH)R103CH2CH2OQ1, etc.; R103 = alkyl; Y1 = O, CH2, CH2CH2, bond; Z1 = H, OH, CF3, NO2, alkoxy; n = 1, 2; Y2 = O, S, NH, NMe, CONH, bond; B1 = bond, (substituted) Ph; A1 = bond, alkylene, O, S, NH; Q1 = specified (substituted) azolyl, (fused) Ph, etc.; R101 = R100, H, alkyl, (substituted) alkenylphenyl, alkylphenyl; R102 = Q4, Q5, Q6, etc.; X9 = H, OH, Cl, F, alkoxy, CF3, alkyl; dotted line = optional double bond; n = 1, 2; Q = S, O; R101R102N = Q7, Q8, etc.; with provisos], were prepared as P-glycoprotein inhibitors (no data). Thus, 1-benzhydrylpiperazine and 2-[2-(oxiran-2-ylmethoxy)phenyl]benzothiazole were refluxed 16 h in EtOH to give 42% 1-(4-benzhydrylpiperazin-1-yl)-3-(2-benzothiazol-2-ylphenoxy)propan-2-ol.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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